dis hist

(FILE 'HOME' ENTERED AT 10:30:14 ON 30 JAN 2007)

	FILE	'REGISTRY' ENTERED AT 10:30:29 ON 30 JAN 2007													
L1		STRUCTURE UPLOADED													
L2		23 S L1 SSS SAM													
L3		450 S L1 SSS FULL													
	FILE	'CAPLUS' ENTERED AT 10:31:58 ON 30 JAN 2007													
L4	•	0 S L3 AND (GEM(A)DIFLUORO)													
L5		0 S L3 AND DIFLUORO													
L6		1 S L3 AND ZINC													
L7		0 S L3 AND REFORMATSKY													
L8		44 S L3 AND (PROCESS OR PREPARA?)													
L9		2 S L8 AND CARBONYL													
L10		0 S L8 AND (CARBONYL(W)ADDITION)													

Connecting via Winsock to STN

LOGINID:

ssspta1623kxg

STNLOGON timed out

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1623kxg

PASSWORD:

NEWS HOURS

NEWS LOGIN

NEWS IPC8

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
      2
                 "Ask CAS" for self-help around the clock
                 The Derwent World Patents Index suite of databases on STN
NEWS
         OCT 23
                 has been enhanced and reloaded
                 CHEMLIST enhanced with new search and display field
NEWS 4
         OCT 30
NEWS
        NOV 03
                 JAPIO enhanced with IPC 8 features and functionality
NEWS
      6
        NOV 10
                 CA/CAplus F-Term thesaurus enhanced
                 STN Express with Discover! free maintenance release Version
NEWS
        NOV 10
                 8.01c now available
NEWS
        NOV 20
                 CA/CAplus to MARPAT accession number crossover limit increased
     8
                 to 50,000
NEWS 9
        DEC 01
                 CAS REGISTRY updated with new ambiguity codes
NEWS 10 DEC 11
                 CAS REGISTRY chemical nomenclature enhanced
                 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 11 DEC 14
NEWS 12 DEC 14
                 GBFULL and FRFULL enhanced with IPC 8 features and
                 functionality
        DEC 18
NEWS 13
                 CA/CAplus pre-1967 chemical substance index entries enhanced
                 with preparation role
NEWS 14
        DEC 18
                 CA/CAplus patent kind codes updated
                 MARPAT to CA/CAplus accession number crossover limit increased
NEWS 15
        DEC 18
                 to 50,000
NEWS 16
        DEC 18
                MEDLINE updated in preparation for 2007 reload
        DEC 27
NEWS 17
                CA/CAplus enhanced with more pre-1907 records
NEWS 18 JAN 08
                CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19
        JAN 16
                CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20 JAN 16
                 IPC version 2007.01 thesaurus available on STN
NEWS 21 JAN 16
                WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22
        JAN 22
                CA/CAplus updated with revised CAS roles
NEWS 23
        JAN 22
                 CA/CAplus enhanced with patent applications from India
        JAN 29
NEWS 24
                 PHAR reloaded with new search and display fields
NEWS 25
        JAN 29
                 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
             NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
NEWS EXPRESS
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
```

STN Operating Hours Plus Help Desk Availability

For general information regarding STN implementation of IPC 8

Welcome Banner and News Items

NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 10:30:14 ON 30 JAN 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:30:29 ON 30 JAN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 JAN 2007 HIGHEST RN 918776-45-1 DICTIONARY FILE UPDATES: 29 JAN 2007 HIGHEST RN 918776-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

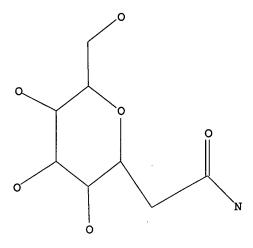
Uploading C:\Program Files\Stnexp\Queries\10522365-3.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 10:30:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 23 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903

PROJECTED ANSWERS: 173 TO 747

L2 23 SEA SSS SAM L1

=> d scan

L2 23 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN L-Tryptophan, N2-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-

arginyl-L-tryptophyl-L-tyrosyl- (9CI)

SQL 4

MF C45 H55 N9 O12

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 23 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Butanoic acid, 4-[[[(3,7-anhydro-2-deoxy-D-glycero-L-glucooctonoyl)amino]acetyl]amino]- (9CI)

MF C14 H24 N2 O9

Absolute stereochemistry.

HO HO R R R R N
$$(CH_2)_3$$
 CO_2H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 23 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN L-Phenylalanine, N2-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Larginyl-L-histidyl-L-prolyl- (9CI)

SOL 4

MF C34 H49 N9 O11

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 sss full FULL SEARCH INITIATED 10:31:37 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 670 TO ITERATE

100.0% PROCESSED 670 ITERATIONS

450 ANSWERS

SEARCH TIME: 00.00.01

L3 450 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 172.55 172.76

FULL ESTIMATED COST

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FILE COVERS 1907 - 30 Jan 2007 VOL 146 ISS 6 FILE LAST UPDATED: 29 Jan 2007 (20070129/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s 13 and (gem(a)difluoro)
             55 L3
           7960 GEM
           1962 GEMS
           9134 GEM
                  (GEM OR GEMS)
          14446 DIFLUORO
            122 GEM (A) DIFLUORO
              0 L3 AND (GEM(A) DIFLUORO)
L4
=> s 13 and difluoro
             55 L3
          14446 DIFLUORO
L5
              0 L3 AND DIFLUORO
=> s 13 and zinc
             55 L3
         613510 ZINC
            119 ZINCS
        613533 ZINC
                  (ZINC OR ZINCS)
L6
              1 L3 AND ZINC
=> dis 16 bib abs hitstr
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
L6
AN
     2004:80195 CAPLUS
     140:128606
DN
     Preparation of gem difluorinated glycoconjugates as potential antitumor,
TI
     antiviral, hypoglycemic prodrug agents
IN
     Quirion, Jean Charles; Pannecoucke, Xavier; D. Hooge, Francois; Marcotte,
     Stephane
     Institut National des Sciences Appliquees de Rouen INSA, Fr.
PΑ
SO
     Fr. Demande, 27 pp.
     CODEN: FRXXBL
DT
     Patent
LA
     French
FAN.CNT 1
     PATENT NO.
                                               APPLICATION NO.
                           KIND
                                  DATE
                                                                        DATE
                                  -----
                          ----
                                               ______
                                                                        _____
                                              FR 2002-9627
PΙ
     FR 2842810
                           A1
                                  20040130
                                                                        20020725
     FR 2842810
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                                  20060127
     CA 2492940
                           A1
                                  20040219
                                               CA 2003-2492940
                                                                        20030723
     WO 2004014928
                           A2
                                  20040219
                                               WO 2003-FR2330
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     WO 2004014928
                           Α3
                                  20040401
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              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            AU 2003-274202
EP 2003-758183
     AU 2003274202
                           A1
                                  20040225
                                                                        20030723
     EP 1525208
                                  20050427
                           A2
                                                                        20030723
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003012917
                           Α
                                  20050705
                                              BR 2003-12917
                                                                        20030723
     CN 1671723
                           Α
                                  20050921
                                               CN 2003-817770
                                                                        20030723
     JP 2006508048
                           Т
                                               JP 2004-526949
                                  20060309
                                                                        20030723
     US 2006142206
                                  20060629
                                               US 2005-522365
                           A1
                                                                        20050921
PRAI FR 2002-9627
                          Α
                                  20020725
```

$$R^{30}$$
 R^{2}
 $CF_{2}-R^{1}$ I

 R^{30}
 R^{30}

AB Gem difluorinated glycoconjugates I, wherein R1 is an aldehyde, acid, ester, alkyl, hydroxy, amine, amide; R2 is H, free or protected function alc.; R3 is protecting group; Y is alkoxy, amine, thioalkyl, were prepared via condensation of lactone sugar with bromodifluoromethylcarboxylate in the presence of zinc or of a derivative lanthanide and used as antitumor, antiviral, hypoglycemic prodrug agents (no data). Thus, glycoconjugate II was prepared in 68 % yield via condensation of the corresponding sugar lactone with BrCF2CO2Et in presence of zinc.

IT 648904-18-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of gem difluorinated glycoconjugates via condensation of lactone sugar with bromodifluoromethylcarboxylate as potential antitumor, antiviral, and hypoglycemic prodrug agents)

RN 648904-18-1 CAPLUS

CN β-D-gluco-3-Octulopyranosonamide, 2-deoxy-2,2-difluoro-N-[(4methoxyphenyl)methyl]-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 13 and reformatsky

L7

55 L3

686 REFORMATSKY

0 L3 AND REFORMATSKY

=> s 13 and (process or prepara?)

55 L3

2371787 PROCESS

1612126 PROCESSES

3540094 PROCESS

```
(PROCESS OR PROCESSES)
       1596328 PREPARA?
       2778145 PREPN
        207393 PREPNS
       2934454 PREPN
                 (PREPN OR PREPNS)
       3775663 PREPARA?
                 (PREPARA? OR PREPN)
L8
            44 L3 AND (PROCESS OR PREPARA?)
=> s 18 and carbonyl
        172495 CARBONYL
         27506 CARBONYLS
        180708 CARBONYL
                 (CARBONYL OR CARBONYLS)
             2 L8 AND CARBONYL
. L9
=> dis 19 1-2 bib abs hitstr
· Ь9
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
     2002:716289 CAPLUS
AN
DN
     137:232918
     Helicomimetics and stabilized LXXLL peptidomimetics
TI
     Spatola, Arno F.; Leduc, Anne-Marie
IN
PA
     University of Louisville, USA
SO
     PCT Int. Appl., 22 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
     ------------
                        _____
                                           WO 2002-US7093
                                                                  20020311
PΙ
     WO 2002072597
                         A2 20020919
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2005054770
                         A1 20050310
                                          US 2004-471120
                                                                  20040923
PRAI US 2001-274846P
                          Ρ
                                20010309
     WO 2002-US7093
                          W
                                20020311
OS
     MARPAT 137:232918
     A helicomimetic compound for stabilizing the \alpha-helical structure of a
     protein fragment, which can serve as an agonist or antagonist of
     protein-protein interactions, comprises a compound of structure
     R1-(Xn)-D-Cys-Y-Y-L-Cys-(Xn)-R2 [R1 is H, an alkyl, aryl, acetyl, formyl,
     or other blocking or solubilizing group, such as a polyethylene glycol
     (PEG) or other polyether moiety, linked to the N-terminal nitrogen through
     a carbon-nitrogen bond; X is one or more natural or unnatural amino acids,
     linked together in a chain from 0 to n in length; Y is a natural or
     unnatural amino acid, usually of the L-configuration, and with two such
     amino acids that need not be identical, separating the pairs of cysteines to
     form an i to i + 3 type of disulfide bridged unit; R2 is OH, NH2, NHR, OR,
     or other blocking or solubilizing group, such as polyethylene glycol (PEG)
     or other polyether moiety, linked to the C-terminal carbonyl
     through an oxygen or carbon or nitrogen linkage, such as an amide group].
     The invention includes helix-stabilized compds. that contain the so-called
     NR Box found in a large number of Nuclear Receptor Coactivator Proteins. The
     NR Box sequence, consisting of Leu-Xxx-Yyy-Leu-Leu within a longer
     peptide, is found in both coactivator proteins and also in certain nuclear
```

receptors. The Boc-based Merrifield solid-phase method was used to prepare linear and cyclic peptides, including H-Lys-His-Lys-Ile-Leu-His-Arg-Leu-Leu-Gln-Asp-Ser-Ser-OH (AML-I-89/2) and H-D-Lys-cyclo(D-Cys-Ile-Leu-Cys)-Arg-Leu-Leu-Gln-NH2 (AKG-I-28). Ki values are tabulated for the peptides against estrogen receptors (ER) alpha and beta. Short linear peptides that contain the LXXLL sequence, such as Leu-Asn-Gln-Leu-Leu, do not display any inhibitory activity with respect to the desired effect of inhibiting the binding of the estrogen receptors to the helical segment of coactivator proteins. Compds. that contain a D-Cys, L-Cys pairing are especially active with respect to binding inhibition.

IT 459844-33-8P, AML-I-31

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of α -helix stabilized LXXLL peptidomimetics)

RN 459844-33-8 CAPLUS

CN L-Leucine, N-(3,7-anhydro-2-deoxy-D-manno-octonoyl)-L-leucyl-L-α-glutamyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:13473 CAPLUS

DN 122:56357

TI On the synthesis of C-glycosyl compounds containing double bonds without the use of protecting groups

AU Wulff, Guenter; Clarkson, Guy

CS Inst. Org. Chem. Makromol. Chem., Heinrich-Heine Univ., Duesseldorf, 40225, Germany

SO Carbohydrate Research (1994), 257(1), 81-95 CODEN: CRBRAT; ISSN: 0008-6215

DT Journal

LA English

OS CASREACT 122:56357

GI

$$\begin{array}{c|c} OH & O & Me \\ HO & N & N \\ OH & O & Me \\ \end{array}$$

AB A new range of C-glycosyl compds. carrying double bonds have been

synthesized as potential monomers for the prepn. of polyvinyl-saccharides. The syntheses were performed without the use of protecting groups and mostly in water as solvent. The starting material was the easily accessible 5- β -D-glycopyranosyl-1,3-dimethylbarbituric acid sodium salt I (R = Na) (obtained from D-glucose and 1,3-dimethylbarbituric acid in water). The alkylation reaction of I (R = Na) at C-5 of the barbiturate moiety was studied in detail. It works well with benzylic bromides in Me2SO and with allylic or benzylic bromides by an ultrasound/phase transfer catalyst-promoted alkylation in water. The resulting 5,5-dialkylated barbiturates, e.g. I (R = CH2C6H4-R1, R1 = H, CH:CH2, CH2CH2Br; R = CH2CR2:CH2, R2 = H, Ph, CO2Me), undergo an unusually facile and specific cleavage of the barbituric ring, losing the c-2 carbonyl, to yield novel mols. with a diamide moiety.

IT 160055-68-5P 160055-69-6P 160055-70-9P

160055-71-0P 160055-72-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 160055-68-5 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(phenylmethyl)-2-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-69-6 CAPLUS

CN Propanediamide, 2-[(4-ethenylphenyl)methyl]-N,N'-dimethyl-2-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-70-9 CAPLUS

CN Propanediamide, 5-[[4-(2-bromoethyl)phenyl]methyl]-N,N'-dimethyl-2-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

RN 160055-71-0 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(2-propenyl)-2-(2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-72-1 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(2-phenyl-2-propenyl)-2-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> s 18 and (carbonyl(w)addition)

172495 CARBONYL

27506 CARBONYLS

180708 CARBONYL

(CARBONYL OR CARBONYLS)

168126 ADDITION

15548 ADDITIONS

180911 ADDITION

```
1567120 ADDN
         73526 ADDNS
       1613493 ADDN
                 (ADDN OR ADDNS)
       1711112 ADDITION
                 (ADDITION OR ADDN)
           579 CARBONYL (W) ADDITION
             0 L8 AND (CARBONYL (W) ADDITION)
L10
=> dis 18 1-44 bib abs hitstr
     ANSWER 1 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2006:1034391 CAPLUS
DN
     145:397538
     Carboxamides as inhibitors of TGF-\beta and their preparation
TI
     and use in the treatment of conditions associated with excessive
     TGF-β activity.
     Axon, Jonathan; Chakravarty, Sarvajit; Hart, Barry; Mcenroe, Glenn;
IN
     Murphy, Alison; Pontius, Karen; Sheng, Daniel; Wang, Gina; Yellapregada,
     Scios Inc., USA
PA
     PCT Int. Appl., 135pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                -----
                                           ______
                                                                   _____
                         ----
ΡI
     WO 2006105222
                         A2
                                20061005
                                          WO 2006-US11509
                                                                   20060327
     WO 2006105222
                         A3
                                20061228
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
         W:
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
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             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
                                20061214
                                          US 2006-390980
     US 2006281763
                         A1
                                                                   20060327
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20050325

Ρ

(ADDITION OR ADDITIONS)

PRAI US 2005-665095P

OS GI MARPAT 145:397538

Certain appropriately substituted forms of pyrimidine, of formula I, AB having a pyridylamine group at C-4 of the pyrimidine and an amide group on the pyridine ring are useful in the treatment of conditions associated with excessive $TGF-\beta$ activity. Compds. of formula I wherein Ar is (un) substituted phenyl; Y and Z are independently H, halo, NO2, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted heteroalkyl, (un) substituted heteroalkenyl, etc.; R1 is (un) substituted (hetero) alkyl, (un) substituted (hetero) acyl, (un) substituted alkoxy, (un) substituted alkylamino, (un) substituted (hetero)aryl, etc.; R2 is H; NR1R2 may form (un)substituted piperidine, (un) substituted morpholine, (un) substituted piperazine, and (un) substituted pyrrolidine; W is halo NH2 and derivs., NO2, CN, CF3, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, etc.; m is 0 and 1; n is 0-3; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by cyclocondensation of Et 3-methylbutanoate with Et formate and 2-fluoro-5-chlorobenzamidine; the resulting 2-(5-chloro-2-fluorophenyl)-5-isopropylpyrimidin-4-one underwent chlorination to give 4-chloro-2-(5-chloro-2-fluorophenyl)-5isopropylpyrimidine, which underwent amination with Me 4-aminonicotinate to give Me 4-[2-(5-chloro-2-fluorophenyl)-5-isopropylpyrimidin-4yl]nicotinate, which underwent hydrolysis to give the corresponding nicotinic acid, which underwent amination with cyclopropylamine to give compound II. All the invention compds. were evaluated for their TGF-B inhibitory activity (data given).

II

c1

IT 911700-03-3P 911700-04-4P 911700-06-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; prepn. of carboxamides as inhibitors of TGF- β useful in treatment of conditions associated with excessive TGF- β activity)

RN 911700-03-3 CAPLUS

CN D-gluco-Octonamide, 3,7-anhydro-N-[3-[[[4-[[2-(5-chloro-2-fluorophenyl)-5-methoxy-4-pyrimidinyl]amino]-3-pyridinyl]carbonyl]amino]propyl]-2-deoxy-, (3ξ)- (9CI) (CA INDEX NAME)

RN 911700-04-4 CAPLUS

CN D-gluco-Octonamide, 3,7-anhydro-N-[2-[[[4-[[2-(5-chloro-2-fluorophenyl)-5-methoxy-4-pyrimidinyl]amino]-3-pyridinyl]carbonyl]amino]ethyl]-2-deoxy-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911700-06-6 CAPLUS

CN D-gluco-Octonamide, 3,7-anhydro-N-[3-[[[4-[[2-(5-chloro-2-fluorophenyl)-5-methoxy-4-pyrimidinyl]amino]-3-pyridinyl]carbonyl]amino]propyl]-2-deoxy-N-(1-methylethyl)-, (3\xi\) (9CI) (CA INDEX NAME)

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L8
    ANSWER 2 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
     2006:548991 CAPLUS
AN
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DN 145:211327

Synthesis of a galacto-configured C-ketoside-based γ -sugar-amino ΤI acid and its use in peptide coupling reactions

ΑU Schweizer, Frank; Hindsgaul, Ole

Department of Chemistry, University of Manitoba, Winnipeg, MB, R3T 2N2, CS Can.

Carbohydrate Research (2006), 341(10), 1730-1736 so CODEN: CRBRAT; ISSN: 0008-6215

PΒ Elsevier B.V.

DTJournal

LA English

. AB γ -Sugar-amino acid analogs in the form of C-ketosides can be prepared in 5-6 steps starting from D-galactono-1,5-lactone. The key step in the synthesis is the trimethylsilyl trifluoromethanesulfonate (TMSOTf) promoted C-glycosylation of 2-deoxy-3-ulopyranosonates with trimethylsilyl cyanide. Hydrogenation of the resulting β -cyano esters provides C-ketoside-based \u03c4-sugar-amino acids that serve as building blocks for the synthesis of unnatural neoglycopeptides.

IT 903882-01-9P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of a galacto-configured C-ketoside-based

 γ -sugar-amino acid and its use in peptide coupling reactions)

RN 903882-01-9 CAPLUS

CN L-Alanine, N-[3,7-anhydro-2-deoxy-3-C-[[[(9H-fluoren-9ylmethoxy)carbonyl]amino]methyl]-D-glycero-L-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 903882-02-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of a galacto-configured C-ketoside-based γ -sugar-amino acid and its use in peptide coupling reactions)

RN 903882-02-0 CAPLUS

CN L-Alanine, N-[3,7-anhydro-2-deoxy-3-C-[[[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]methyl]_-D-glycero-L-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:538719 CAPLUS
- DN 145:46272
- TI Preparation of gem-difluorinated C-glycopeptides and their use for the preservation of biological materials and/or in cryosurgery
- IN Quirion, Jean-Charles; Castelot-Deliencourt- Godefroy, Geraldine
- PA Institut National Des Sciences Appliquees De Rouen, Fr.
- SO PCT Int. Appl., 87 pp.

CODEN: PIXXD2

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English
LA
FAN.CNT 1
                                             APPLICATION NO.
     PATENT NO.
                         KIND
                                DATE
                                                                    DATE
                                             _____
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                                                                    20051202
PΙ
     WO 2006059227
                          A1
                                20060608
                                             WO 2005-IB3940
     WO 2006059227
                          B1
                                20061102
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     FR 2878851
                          A1
                                20060609
                                             FR 2004-12782
                                                                    20041202
PRAI FR 2004-12782
                          Α
                                20041202
     MARPAT 145:46272
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HO OH
$$F_2$$
 H Me OH H_1 OH H_2 OH H_3 OH H_4 OH

The invention relates to gem-difluorinated C-glycopeptides
R4(NHCHR1CONHCHR2CONHCHR3CO)1-5R5 [R4 is H, AA1, AA1-AA2 and R5 is OH,
AA1, AA1-AA2, where AA1 and AA2 are independent and represent amino acids
with a non-functionalized side chain; R1, R2, R3 are independently H, Me,
PhCH2, Me2CH, Me2CHCH2, EtCHMe and one of R1-R3 is 2-tetrahydropyranylCF2CONH(CH2)3-4 in which 2-tetrahydropyranyl is substituted by 5-Y, 4-Y'
(H, OH, PhCH2O, N3, amino, mercapto, etc.), 6-R6 (H, Me, CH2OH,
CH2-glycoside group, protected hydroxymethyl), 3-R7 (OH, NH2, N3, OH, NH2
or protected hydroxy or amino), 1-RB (H, OH or protected hydroxy)] for use
in the preservation of biol. materials and in cryosurgery. Thus,
glycopeptide I was prepared by a multistep sequence starting from Me
D-galactopyranoside and studied for its effect on the preservation of HEK
293 kidney cells and blood platelets.

IT 890015-62-0P 890015-70-0P

Ι

IT 890015-62-0P 890015-70-0P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation); USES (Uses)

(prepn. of gem-difluorinated C-glycopeptides for preservation of biol. materials and/or in cryosurgery)

RN 890015-62-0 CAPLUS

CN

DT

Patent

L-Alanine, N6-(2-deoxy-2,2-difluoro-α-D-galacto-3octulopyranosonoyl)-L-lysyl-L-alanyl-, monohydrochloride (9CI) (CA INDE: NAME)

HO

R

OH

OH

OH

OH

NH

$$(CH_2)_4$$

NH

 $(CH_2)_4$

NH

O

Me

NH

 $(CH_2)_4$

NH

O

Me

NH

 $(CH_2)_4$

NH

O

Me

● HCl

RN 890015-70-0 CAPLUS
CN L-Alanine, N6-(2-deoxy-2,2-difluoro-α-D-galacto-3-

octulopyranosonoyl)-L-lysyl-L-alanyl-L-alanyl-N6-(2-deoxy-2,2-difluoro-α-D-galacto-3-octulopyranosonoyl)-L-lysyl-L-alanyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890015-57-3 CAPLUS
CN L-Lysine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-0-(phenylmethyl)α-D-galacto-3-octulopyranosonoyl]-N2-[(1,1-dimethylethoxy)carbonyl](9CI) (CA INDEX NAME)

RN 890015-58-4 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890015-60-8 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-0-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 890015-59-5 CMF C49 H60 F2 N4 O11

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 890015-61-9 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 890015-66-4 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-N2-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-alanyl-L-alanyl-N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 890015-68-6 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-L-alanyl-N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 890015-67-5 CMF C97 H116 F4 N8 O21

PAGE 1-B

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 890015-69-7 CAPLUS

CN L-Alanine, N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)-α-D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-L-alanyl-N6-[2-deoxy-2,2-difluoro-4,5,6,8-tetrakis-O-(phenylmethyl)-α-D-galacto-3-octulopyranosonoyl]-L-lysyl-L-alanyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1314244 CAPLUS

DN 144:51830

TI Synthesis of metabolically stable analgesics, pain medications and other agents

IN Cashman, John R.; Macdougall, James M.

PA Human Biomolecular Research Institute, USA

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

ran.Cni i																		
	PATENT NO.				KIND		DATE		1	APPLICATION NO.						DATE		
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ΡI	WO 2005117589				A1		20051215		WO 2005-US19000						20050531			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,																
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	

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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
              NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
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              ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
              RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
              MR, NE, SN, TD, TG
PRAI US 2004-575451P
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                                  20040528
     MARPAT 144:51830
os
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
     Disclosed are analgesic-related compns. ABC [A = analgesic, opiate or
     derivative; B = linking group (e.g. S, NHC(:O)(CH2)n; n = 0 - 5); C =
     (un) substituted aryl, heteroaryl, saccharide {e.g., Z; R8 = H,
     (C1-5-alkyl)C(:0), (C7-10-aralkyl)C(:0), C7-10-aralkyl, C1-5-alkyl,
     C6-12-aryl, (C6-12-aryl)C(:0); R9 = CH2OH, CH2O(C1-5-alkyl),
     \texttt{CH2O2C}(\texttt{C1-5-alkyl})\,,\,\,\texttt{CH2O}(\texttt{C6-12-aryl})\,,\,\,\texttt{CO2H},\,\,\texttt{CO2}(\texttt{C1-5-alkyl})\,,
     CO2(C6-12-aryl), CO2(C7-10-aralkyl))] and methods of using the compns. for
     modulation of analgesic receptor activity. Analgesics I [R1 = H,
     (C1-5-alkyl)C(:0), (C7-10-aralkyl)C(:0), C7-10-aralkyl, C1-5-alkyl,
     C6-12-aryl, (C6-12-aryl)C(:0), silyl; R2 = C1-5-alkyl, C3-6-cycloalkyl,
     (C3-6-cycloalkyl)alkyl, (C5-7-cycloalkenyl)alkyl, C6-12-aryl,
     C7-12-aralkyl, C6-12-heteroaryl, C7-12-heteroaralkyl, C2-5-alkenyl,
     C2-5-alkynyl (each optionally substituted with halogen, C1-5-alkoxy, NO2,
     CO2R); R3 = H, OH, R4 = H; R5 = H; R6 = YR7; R5R6 = heteroaryl; R7 =
     (un) substituted aryl, heteroaryl, saccharide; R = H, C1-5-alkyl; Y = S,
     NHC(:0)(CH2)n] are selected from alkaloids naltrexone, phenylpiperidine,
     piperidinol, prodine, piperidylpropionanilide, isoprodine, prodilidine,
     benzomorphan, morphan, azabicyclane, morphinan,
     [(diphenylamnio)ethyl]propionate, methadone, isomethadone, propoxyphene,
     dextromethorphan, benzazocin-8-ol, norbinaltrophine, naltrindole,
     guanidinylnaltrindole. Thus, morphine thioglycoside II was prepared from
     morphine 6-O-tosylate via thioglycosylation with Me [2,3,4-tri-O-acetyl-
     \beta-D-glucopyranosyluronate]-1-thiol in DMF containing NaH followed by
     saponification The compns. and methods are useful for reducing pain, as well
as
     for therapeutic intervention of addictions or other diseases or disorders
     amenable to treatment or prophylaxis by modulation of analgesic receptor
     signaling. The analgesic activity of II was determined [Ki = 8.73 nM vs. \mu
     opioid receptor; Ki = 31.4 nM vs. \delta opioid receptor; Ki = 288 nM vs.
     \kappa opioid receptor; EC50 = 33.7 nM for stimulation of
     [35S]GTP\gammaS binding at \mu opioid receptor; EC50 = 50.13 nM for
     stimulation of [35S]GTP\gammaS binding at \delta opioid receptor].
TT
     851217-50-0P 871119-49-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(prepn. and desilylation of; synthesis of metabolically stable analgesics, pain medications and related agents)

yl]-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-deoxy-N-[$(5\alpha,6\beta)$ -7,8-

didehydro-4,5-epoxy-17-methyl-3-[[tris(1-methylethyl)silyl]oxy]morphinan-6-

Absolute stereochemistry.

RN

CN

(Reactant or reagent)

851217-50-0 CAPLUS

RN 871119-49-2 CAPLUS

CN L-glycero-L-gulo-Octonic acid, 2,6-anhydro-7,8-dideoxy-8- [[$(5\alpha,6\beta)$ -7,8-didehydro-3-[[(1,1-dimethylethyl)dimethylsilyl]ox y]-4,5-epoxy-17-methylmorphinan-6-yl]amino]-8-oxo-, methyl ester, 3,4,5-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 851217-51-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenation/debenzylation of; synthesis of metabolically stable analgesics, pain medications and related agents)

RN 851217-51-1 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-deoxy-N-[(5α,6β)-7,8-didehydro-4,5-epoxy-3-hydroxy-17-methylmorphinan-6-yl]-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

6-yl]amino]-8-oxo-, methyl ester, 3,4,5-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 871119-68-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn., hydrogenolytic debenzylation and receptor binding of; synthesis of metabolically stable analgesics, pain medications and related agents)

RN 871119-68-5 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-N-[(5α)-17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxymorphinan-6-yl]-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 871119-58-3P

RN

CN L-glycero-L-gulo-Octonic acid, 2,6-anhydro-7,8-dideoxy-8-[[(5α,6β)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methylmorphinan6-yl]amino]-8-oxo-, methyl ester, 3,4,5-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 851217-54-4P 871119-52-7P 871119-61-8P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of metabolically stable analgesics, pain medications and related agents)

RN 851217-54-4 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-deoxy-N-[$(5\alpha,6\beta)$ -4,5-epoxy-3-hydroxy-17-methylmorphinan-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 871119-52-7 CAPLUS

CN D-glycero-L-gulo-Octonic acid, 2,6-anhydro-7,8-dideoxy-8- [[$(5\alpha,6\beta)$ -7,8-didehydro-4,5-epoxy-3-hydroxy-17-methylmorphinan-6-yl]amino]-8-oxo- (9CI) (CA INDEX NAME)

RN 871119-61-8 CAPLUS
CN D-glycero-L-gulo-Octonic acid, 2,6-anhydro-7,8-dideoxy-8[[(5α,6β)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methylmorphinan-6-yl]amino]-8-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 851217-52-2P 871119-69-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of metabolically stable analgesics, pain medications and related agents)

RN 851217-52-2 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-deoxy-N-[(5α,6β)-4,5-epoxy-3-hydroxy-17-methylmorphinan-6-yl]-4,5,6,8-tetrakis-O-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 871119-69-6 CAPLUS

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
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AN 2005:386328 CAPLUS

DN 143:406117

TI Antifreeze glycoprotein analogs: Synthesis, in vitro testing, and applications

AU Bouvet, Vincent; Ben, Robert N.

CS Department of Chemistry, D'Iorio Hall, University of Ottawa, Ottawa, ON, K1N 6N5, Can.

SO ACS Symposium Series (2005), 896(Glycomimetics), 151-166 CODEN: ACSMC8; ISSN: 0097-6156

PB American Chemical Society

DT Journal

LA English

OS CASREACT 143:406117

AB A series of first generation C-linked antifreeze glycoprotein (AFGP) analogs have been successfully prepared using conventional solid phase chemical These glycoconjugates range in mol. weight between 1.5 to 4.1 Kda and can be prepared using traditional linear solid phase protocol. Unlike the native system, the C-linked analogs possess enhanced chemical and biol. stability and consequently are well-suited for many potential medical, industrial and com. applications. Despite dramatic structural modifications (relative to the native system), several of these first generation analogs display significant antifreeze protein-specific activity.

IT 255851-86-6P 592532-44-0P

RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and in vitro testing of glycopeptides as analogs of

antifreeze glycoproteins)

RN 255851-86-6 CAPLUS

CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 592532-44-0 CAPLUS
CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

HO R R R O O O (CH2)
$$\frac{1}{4}$$
 S $\frac{1}{4}$ H $\frac{1}{4}$ O (CH2) $\frac{1}{4}$ O (CH2) $\frac{1}{4}$ O (CH2) $\frac{1}{4}$

PAGE 1-D

PAGE 2-A

HO_

НО

PAGE 2-B

PAGE 2-C

N H O

PAGE 2-D

N H

IT 255851-83-3P 255851-84-4P 592532-40-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and in vitro testing of glycopeptides as analogs of antifreeze glycoproteins)

RN 255851-83-3 CAPLUS

CN Glycine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl-,

phenylmethyl ester (9CI) (CA INDEX NAME)

RN 255851-84-4 CAPLUS

CN Glycine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 592532-40-6 CAPLUS

CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2005:137046 CAPLUS
- DN 142:374105
- TI Access to unnatural glycosyl amino acid building blocks via a one-pot Ritter reaction
- AU Penner, Marlin; Taylor, David; Desautels, Danielle; Marat, Kirk; Schweizer, Frank
- CS Department of Chemistry, University of Manitoba, Winnipeg, MB, R3T 2N2, Can.
- SO Synlett (2005), (2), 212-216 CODEN: SYNLES; ISSN: 0936-5214
- PB Georg Thieme Verlag
- DT Journal
- LA English
- OS CASREACT 142:374105
- AB α -D-Galacto-2-deoxy-oct-3-ulopyranosonic acids, α -D-gluco-2-deoxy-oct-3-ulopyranosonic acids and α -L-galacto-2,8-dideoxy-oct-3-ulopyranosonic acids can be converted into unnatural glycosyl amino acids via a one-pot intramol. Ritter reaction. Initially, a ketopyranoside-based acid condenses under Lewis acid-promoted conditions with a nitrile (benzonitrile or acetonitrile) and a partially protected diamino ester (Boc-DAB-Ot-Bu, Boc-Orn-Ot-Bu) to form unnatural glycosyl amino esters. The resulting glycosyl amino esters are useful building blocks for solid-phase glycopeptide synthesis. For example, the glycosyl amino acid derived by condensation of α -D-galacto-2-deoxy-oct-3-ulopyranosonic acid with benzonitrile and DAB was used to replace serine in the potent opioid peptide sequence H2N-Tyr-D-Thr-Gly-Phe-Leu-Ser-CONH2.
- IT 849472-56-6P 849472-65-7P 849472-72-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of glycosyl amino acids via one-pot Ritter reaction of ketopyranoside-based acid with benzonitrile or acetonitrile for solid phase glycopeptide synthesis)

RN 849472-56-6 CAPLUS

Absolute stereochemistry.

RN 849472-65-7 CAPLUS

CN Butanoic acid, 2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-4-[[4,5,6,8-tetra-0-acetyl-3-(benzoylamino)-2,3-dideoxy-α-D-galacto-3-octulopyranosonoyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

RN 849472-72-6 CAPLUS
CN Butanoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[4,5,6,8-tetra-O-acetyl-3-(benzoylamino)-2,3-dideoxy-α-D-galacto-3-octulopyranosonoyl]amino]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849472-58-8 CAPLUS

Absolute stereochemistry.

RN 849472-59-9 CAPLUS

Absolute stereochemistry.

RN 849472-60-2 CAPLUS

 Absolute stereochemistry.

RN 849472-61-3 CAPLUS

CN L-Ornithine, N5-[3-(acetylamino)-2,3-dideoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-gluco-3-octulopyranosonoyl]-N2-[(1,1-dimethylethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849472-64-6 CAPLUS

CN L-Alanine, N-[3-(benzoylamino)-2,3-dideoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-α-D-galacto-3-octulopyranosonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849472-66-8 CAPLUS

CN Butanamide, L-tyrosyl-D-threonylglycyl-L-phenylalanyl-L-leucyl-2-amino-4- [[3-(benzoylamino)-2,3-dideoxy- α -D-galacto-3- octulopyranosonoyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 849472-68-0 CAPLUS

CN Carbamic acid, [3-[[2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-propyl-α-D-galacto-3-octulopyranosonamidosyl]amino]-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849472-69-1 CAPLUS

CN β-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-β-alanyl-3-amino-2,3-dideoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-α-D-galacto-3octulopyranosonoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 849472-70-4 CAPLUS

CN α -D-gluco-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-(phenylmethyl)-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:837270 CAPLUS

DN 141:337274

TI Cosmetic use of new desquamative agents

IN Dalko, Maria; Cavezza, Alexandre; Bernard, Dominique

PA L'oreal, Fr.

SO Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN. CNT 1

FAN. CNT 1										
	PATENT NO.				DATE	APPLICATION NO.	DATE			
ΡI	EP 14	66590		A1	20041013	EP 2004-290756	20040322			
	F	: AT, BE,	CH,	DE,	DK, ES, FR,	GB, GR, IT, LI, LU, 1	NL, SE, MC, PT,			
		IE, SI,	LT,	LV,	FI, RO, MK,	CY, AL, TR, BG, CZ, I	EE, HU, PL, SK, HR			
	FR 28	53540		A1	20041015	FR 2003-4349	20030408			
	FR 28	53540		B1	20060707					
	US 20	05002889		A1	20050106.	US 2004-813056	20040331			

	JP 2004307508	Α	20041104	JP 2004-113511	20040407
	JP 3808082	B2	20060809		
PRAI	FR 2003-4349	A	20030408		
	US 2003-471725P	P	20030520		
os	MARPAT 141:337274				
GI					

$$(R^2)_m$$
 $(R^2)_m$
 $(R^2$

AB Cosmetic compns. containing I are used for topical used on hair or skin to prevent aging and improve the color of the skin and dry skin. Thus, 2-benzyl-N,N'-dimethyl-2-(3,4,5-trihydroxy-6-methyltetrahydropyran-2-yl)-malonamide was prepared and its efficacy in separating cornecytes from stratum corneum was shown. Formulation of an anti-acne gel is containing 3.0% active compound was disclosed.

IT 769140-43-4P

RL: COS (Cosmetic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (cosmetic use of new desquamative agents)

RN 769140-43-4 CAPLUS

CN Propanediamide, $2-\beta-D-glucopyranosyl-N,N'-dimethyl-2-(phenylmethyl)-(9CI)$ (CA INDEX NAME)

- L8 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:536729 CAPLUS
- DN 141:225760
- TI Preparation of an advanced intermediate for the synthesis of stable analogs of guanofosfocin
- AU George, Tesmol G.; Szolcsanyi, Peter; Koenig, Stefan G.; Paterson, Duncan E.; Isshiki, Yoshiaki; Vasella, Andrea
- CS Laboratorium fuer Organische Chemie, ETH-Hoenggerberg, Zurich, CH-8093, Switz.
- SO Helvetica Chimica Acta (2004), 87(5), 1287-1298 CODEN: HCACAV; ISSN: 0018-019X
- PB Verlag Helvetica Chimica Acta
- DT Journal
- LA English
- OS CASREACT 141:225760

AB The synthesis of a C-mannosyl-guanosine, I, an advanced intermediate for the prepn. of stable analogs of guanofosfocin, is described.

This convergent approach features an improved Traube-type synthesis of a 8-substituted guanine, followed by ribosylation. NMR Studies show that the C-mannopyranosyl moiety of I adopts a distorted 1C4 conformation while the nucleoside is predominantly syn-oriented.

IT 745822-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

Ι

(prepn. of a C-mannosyl-guanosine analog via Traube-type synthesis of the 8-substituted guanine followed by ribosylation)

RN 745822-38-2 CAPLUS

CN D-glycero-D-talo-Octonamide, N-[2-amino-5-nitroso-6-(phenylmethoxy)-4-pyrimidinyl]-3,7-anhydro-2-deoxy-4,6,8-tris-O-(phenylmethyl)-, 5-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:252533 CAPLUS
- DN 140:287715
- TI Preparation of modified peptide nucleic acid (PNA) prodrugs
- IN Rasmussen, Palle; Frandsen, Niels Montano; Nyborg, Marlene; Rasmussen, Frank Winther; Hamzavi, Ramin; Nielsen, Peter Eigild; Kjaerulff, Soren
- PA Santaris Pharma A/s, Den.
- SO PCT Int. Appl., 112 pp. CODEN: PIXXD2
- DT Patent

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LA
     English
FAN.CNT 1
                                                                    DATE
     PATENT NO.
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                                DATE
                                            APPLICATION NO.
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                                            WO 2003-DK588
                                                                    20030911
PΙ
     WO 2004024757
                          A2
                                20040325
     WO 2004024757
                          A3
                                20040429
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2498772
                          A1
                                20040325
                                            CA 2003-2498772
                                                                   20030911
     AU 2003260289
                          A1
                                20040430
                                            AU 2003-260289
                                                                   20030911
     EP 1543019
                          A2
                                20050622
                                            EP 2003-794821
                                                                   20030911
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI DK 2002-1334
                          Α
                                20020911
     DK 2002-1786
                          Α
                                20021119
     DK 2002-1956
                          Α
                                20021220
     DK 2003-600
                          Α
                                20030416
     WO 2003-DK588
                          W
                                20030911
os
     MARPAT 140:287715
     The invention relates to peptide nucleic acid (PNA) drugs, which are
AΒ
     optionally modified in order to obtain novel PNA mols. with cell-specific
     delivery. PNA monomers RNHCHR1CHR2N(COCH2-B)CHR3CO2H [B is a
     naturally-occurring nucleobase (preferably A, T, G, or C) or a
     non-naturally-occurring nucleobase; R is H or a protecting group; R1, R2,
     R3 are H, an amino acid side chain, substituted alkyl, etc.] are claimed.
     The examples describe of PNAs, e.g., [GalNAc(OH)3]2-Lys-Gly-
     CATCACTGGCAGACCCTG-NH2, and PNA conjugates. A table shows the effect of
     GalNAc ligands on PNA delivery to the liver.
IT
     675600-89-2P 675600-90-5P 675600-92-7P
     675600-93-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of modified peptide nucleic acid (PNA) prodrugs)
RN
     675600-89-2 CAPLUS
CN
     L-Lysine, N2-[2-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)acetyl][(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-
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tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-,

Absolute stereochemistry.

2-propenyl ester (9CI) (CA INDEX NAME)

RN 675600-90-5 CAPLUS CN L-Lysine, N2-[2-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-

pyrimidinyl)acetyl][(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 675600-92-7 CAPLUS

CN L-Lysine, N2-[2-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl][(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-,2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 675600-93-8 CAPLUS

CN L-Lysine, N2-[2-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl][(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-,2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 675601-14-6 CAPLUS

CN L-Lysine, N2-[2-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl][(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L8 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:80195 CAPLUS
- DN 140:128606
- TI Preparation of gem difluorinated glycoconjugates as potential antitumor, antiviral, hypoglycemic prodrug agents
- IN Quirion, Jean Charles; Pannecoucke, Xavier; D. Hooge, Francois; Marcotte, Stephane
- PA Institut National des Sciences Appliquees de Rouen INSA, Fr.
- SO Fr. Demande, 27 pp. CODEN: FRXXBL
- DT Patent
- LA French

FAN.CNT 1

	PATENT						DATE				ICAT				Di		
PI	FR 284	2810			A 1		2004									0020	
	FR 284				B1		2006			~ ~	000						
	CA 249						2004									0030	
	WO 200						2004	_		WO 2	003-	FR23	30		20	3030	723
	WO 200						2004	-									
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		PL,	PΤ,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,
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	RW	: GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		•	•		•		TM,	-	•	•			•		•	•	•
		FI,	FR,	GB,	GR,	HU,	· IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	AU 200	32742	02		A1		2004	0225		AU 2	003-	2742	02		20	0030,	723
	EP 152	5208			A2		2005	0427	•	EP 2	003-	7581	83		20	0030	723
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	BR 200	30129	17		Α		2005										
	CN 167						2005	0921	1	CN 2	003-	8177	70		20	0030'	723
	JP 2006508048						JP 2004-526949										
	US 200	61422	06		A1		2006	0629	1	US 2	005-	5223	65		20	0050	921
PRAI	FR 200						2002	0725									
	WO 200	3-FR2	330		W		2003	0723									
os	CASREA	CT 14	0:12	8606	; MAI	RPAT	140	:1286	506								
GI																	

$$R^{3}O$$
 R^{2}
 $CF_{2}-R^{1}$
 R^{2}
 $CF_{2}-R^{1}$
 $R^{3}O$
 R^{2}
 R^{2}
 $R^{3}O$
 R^{2}
 $R^{3}O$
 $R^{3}O$

AB Gem difluorinated glycoconjugates I, wherein R1 is an aldehyde, acid, ester, alkyl, hydroxy, amine, amide; R2 is H, free or protected function alc.; R3 is protecting group; Y is alkoxy, amine, thioalkyl, were prepared via condensation of lactone sugar with bromodifluoromethylcarboxylate in the presence of zinc or of a derivative lanthanide and used as antitumor, antiviral, hypoglycemic prodrug agents (no data). Thus, glycoconjugate II was prepared in 68 % yield via condensation of the corresponding sugar lactone with BrCF2CO2Et in presence of zinc.

IT 648904-18-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of gem difluorinated glycoconjugates via condensation of lactone sugar with bromodifluoromethylcarboxylate as potential antitumor, antiviral, and hypoglycemic prodrug agents)

RN 648904-18-1 CAPLUS

CN β-D-gluco-3-Octulopyranosonamide, 2-deoxy-2,2-difluoro-N-[(4methoxyphenyl)methyl]-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
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AN 2003:901818 CAPLUS

DN 140:199515

TI Carbohydrate-protein interactions at interfaces: comparison of the binding of Ricinus communis lectin to two series of synthetic glycolipids using surface plasmon resonance studies

AU Critchley, P.; Clarkson, G. J.

CS Department of Chemistry, University of Warwick, Coventry, CV4 7AL, UK

SO Organic & Biomolecular Chemistry (2003), 1(23), 4148-4159 CODEN: OBCRAK; ISSN: 1477-0520

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 140:199515

AΒ Two C-lactosyl lipids and the related C-galactosyl lipids have been synthesized and their binding to RCA120 plant lectin was compared with a second series of thiolactosylethoxyalkanes. The interactions were measured quant. in real time by surface plasmon resonance (BIAcore) at a range of concns. and temps. from 5 to 30 °C. The C-galactosyl lipid $(1, 3-dimethyl-5-[\beta-d-galactopyranosyl]-5-(4$ octadecyloxybenzyl)pyrimidine-2,4,6-trione) bound much more weakly with a KA = 8.86 + 105 than the corresponding C-lactosyl lipid $(1,3-dimethyl-5-[\beta-d-galactopyranosyl-(1,4)-\beta-d-glucopyranosyl]-$ 5-(4-octadecyloxybenzyl)pyrimidine-2,4,6-trione) (KA = 2.31 + 107). The influence of the linker region of the two different series of lactosyl lipids was clearly demonstrated by the differences in the binding to RCA120 lectin. The changes in kinetic values and in the enthalpic and entropic contribution to the free energy of binding reflected the importance of the linker and the hydrocarbon anchor holding the synthetic glycolipids in the neomembrane.

IT 660850-45-3P 660850-46-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (comparison of the binding of Ricinus communis lectin to synthetic glycolipids using surface plasmon resonance studies)

RN 660850-45-3 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-[[4-(octadecyloxy)phenyl]methyl]-2-(2,3,4,6-tetra-0-acetyl-β-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

RN 660850-46-4 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-[[4-(octadecyloxy)phenyl]methyl]-2-[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 660850-39-5P 660850-40-8P

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent) (prepn., acetylation and binding kinetics of; comparison of the binding of Ricinus communis lectin to synthetic glycolipids using surface plasmon resonance studies)

RN 660850-39-5 CAPLUS

CN Propanediamide, $2-\beta-D$ -galactopyranosyl-N,N'-dimethyl-2-[[4-(octadecyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 660850-40-8 CAPLUS

CN Propanediamide, $2-(4-O-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)-N,N'-dimethyl-2-[[4-(octadecyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:737362 CAPLUS

DN 139:261505

TI Preparation of phosphotetrahydropyran monosaccharide phosphates as antiinflammatory agents and in treating diseases dependent on T-lymphocyte migration

IN Cowden, William Butler; Eschler, Bart Michael; March, Darren Ray; Francis, Douglas John; Gerba, Sendaba; Bartell, Gavin James; Charlton, Brett

PA Pharmaxis Pty Ltd., Australia

SO U.S. Pat. Appl. Publ., 32 pp., Cont.-in-part of Appl. No. PCT/AU01/00831. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 2

FAIN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2003176363	 A1	20030918	US 2003-338679	20030109
	US 6878690	B2	20050412	33 2333 33331	
	WO 2002004472	A1	20020117	WO 2001-AU831	20010711

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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI AU 2000-8723
                          Α
                                20000711
     WO 2001-AU831
                          A2
                                20010711
os
     MARPAT 139:261505
GΙ
```

AΒ The present invention provides phosphotetrahydropyran compds. I, wherein R is axial or equatorial and is selected from the group consisting of: alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl, cyano, hydroxy-tetrahydro-pyranyloxyalkyl, (CH2)nCH2OR", (CH2)nCONHR", (CH2)nCH2NHR" and (CH2)nCOX, wherein n represents an integer from 0 to 20 inclusive; R" is selected from the group consisting of H, alkyl, aryl and acyl; and X is selected from the group consisting of Y, OY' and NY"Y'" wherein Y is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl and carbohydrate; Y' is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl and carbohydrate; and Y" and Y'" are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl and acyl; wherein each of alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl and acyl may be optionally substituted; provided that R is not Me, and the use thereof in treating diseases or conditions that are dependent on T-lymphocyte migration, as well as compns. containing said compds. the disease or condition is rheumatoid arthritis, multiple sclerosis, acute disseminated encephalomyelitis, psoriasis, Crohn's disease, T cell-mediated dermatitis, stromal keratitis, uveitis, thyroiditis, sialitis or type I diabetes. Thus, 3-phenyl-2-[2-(3,4,5-trihydroxy-6phosphonooxymethyl-tetrahydro-pyran-2-yl)-acetylamino]-propionic acid monosodium salt was prepared and tested at a dose of 62 mg/kg/day s.c., on passively transferred autoimmune encephalomyelitis (EAE) in Lewis rats. IT 388593-58-6P 388593-75-7P 388593-81-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of phosphotetrahydropyran monosaccharide phosphates as antiinflammatory agents and in treating diseases dependent on tlymphocyte migration)

RN 388593-58-6 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 388593-75-7 CAPLUS

CN L-Glutamic acid, N-[(3ξ)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoyl]-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•2 Na

RN 388593-81-5 CAPLUS

CN D-manno-Octonamide, 3,7-anhydro-2-deoxy-N-(2-phenylethyl)-, 8-(dihydrogen phosphate), monosodium salt, (3\xi)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

IT 388593-61-1P 388593-62-2P 388593-64-4P
 388593-78-0P 388593-79-1P 388593-80-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(prepn. of phosphotetrahydropyran monosaccharide phosphates as antiinflammatory agents and in treating diseases dependent on tlymphocyte migration)

RN 388593-61-1 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-62-2 CAPLUS

CN L-Phenylalanine, N-[(3\xi)-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-64-4 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-4,5,6-tri-O-acetyl-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-78-0 CAPLUS

CN L-Glutamic acid, N-[(3\xi)-3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-D-manno-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 388593-79-1 CAPLUS

CN L-Glutamic acid, N-[(3ξ)-3,7-anhydro-2-deoxy-4,5,6-tris-0-(phenylmethyl)-8-0-phosphono-D-manno-octonoyl]-, 1,5-[bis(phenylmethyl)] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-80-4 CAPLUS

CN L-Glutamic acid, N-[(3ξ)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:679388 CAPLUS
- DN 139:381726
- TI Modulation of the Pharmacokinetic Properties of PNA: Preparation of Galactosyl, Mannosyl, Fucosyl, N-Acetylgalactosaminyl, and N-Acetylglucosaminyl Derivatives of Aminoethylglycine Peptide Nucleic Acid Monomers and Their Incorporation into PNA Oligomers
- AU Hamzavi, Ramin; Dolle, Frederic; Tavitian, Bertrand; Dahl, Otto; Nielsen, Peter E.
- CS Center for Biomolecular Recognition, Department of Medical Biochemistry

and Genetics, University of Copenhagen, Copenhagen, DK-2200, Den.

SO Bioconjugate Chemistry (2003), 14(5), 941-954
CODEN: BCCHES; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English
OS CASREACT 139:381726

Ι

$$\begin{array}{c|c}
 & \text{Me} & \text{NH} \\
 & \text{NH} & \text{O} \\
 & \text{CO} - \text{CH}_2 \\
 & \text{NH} + \text{CH}_2 \\
 & \text{NH} & \text{CO} - \\
 & \text{CO} \\
 & \text{OH} & \text{OH} & \text{OH} \\
 & \text{OH}$$

GI

A series of N-(2-aminoethyl)- α -amino acid thymine peptide nucleic acid (PNA) monomers bearing glycosylated side chains in the α -amino acid position (e.g, I) have been synthesized. These include PNA monomers where glycine has been replaced by serine and threonine (O-glycosylated), derivs. of lysine and nor-alanine (C-glycosylated), and amide derivs. of aspartic acid (N-glycosylated). The Boc and Fmoc derivs. of these monomers were used for incorporation in PNA oligomers. Twelve PNA decamers containing the glycosylated units in one, two, or three positions were prepared, and the thermal stability (Tm) of their complexes with a complementary RNA was determined Incorporation of the glycosyl monomers reduced the duplex stability by 0-6° C per substitution. A cysteine was attached to the amino terminus of eight of the PNA decamers (Cys-CTCATACTCT-NH2) for easy conjugation to a [18F] radiolabeled N-(4-fluorobenzyl)-2-bromoacetamide. The in vivo biodistribution of these PNA oligomers was determined in rat 2 h after i.v. administration. Most of the radioactivity was recovered in the kidneys and in the urine. However, N-acetylgalactosamine (and to a lesser extent galactose and mannose) -modified PNAs were effectively targeting the liver (40-fold over unmodified PNA). Thus, the pharmacodistribution in rats of PNA oligomers can be profoundly changed by glycosylation. These results could be of great significance for PNA drug development, as they should allow modulation and fine-tuning of the pharmacokinetic profile of a drug lead. IT 612491-01-7P 612491-03-9P 612491-04-0P 612491-06-2P 612491-22-2P 612491-23-3P 612491-25-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of glycosylated monomers for PNA synthesis and their effect on PNA/RNA hybridization or PNA biodistribution)

RN 612491-01-7 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-, 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 612491-03-9 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-0-acetyl3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-, 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 612491-04-0 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-Oacetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-, 2-propenyl ester
(9CI) (CA INDEX NAME)

RN 612491-06-2 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-Oacetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-, 2-propenyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 612491-22-2 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)- (9CI) (CA INDEX NAME)

RN 612491-23-3 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-Oacetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 612491-25-5 CAPLUS

CN L-Lysine, N2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N2[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N6-(4,5,6,8-tetra-0acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:451473 CAPLUS

DN 140:128552

TI Synthesis and applications of alkylated C-sugars as peptide bioconjugates

AU Brunel, Florence M.; Leduc, Anne-Marie; Mashuta, Mark S.; Taylor, K.

Grant; Spatola, Arno F.

CS Department of Chemistry, University of Louisville, Louisville, KY, USA

SO Letters in Peptide Science (2003), Volume Date 2002, 9(2-3), 111-117 CODEN: LPSCEM; ISSN: 0929-5666

PB Kluwer Academic Publishers

DT Journal

LA English

OS CASREACT 140:128552

GI

An

AB Permethylated C-sugars affect the stability and solubility of their carbohydrate precursors and may represent an important group of bioconjugates. When properly functionalized, these units can be appended to the N- and C-termini or to the side chains of peptides or other therapeutic candidates. An amine-functionalized alkylated mannose derivative I (R = CH2NH2) was synthesized and its configuration was confirmed by determining the X-ray crystal structure of its nitrile precursor I (R = CN).

acid functionalized counterpart II, when attached to the N-terminus of a NR box peptide analog, improved binding to estrogen receptor β (ER β) but not to ER α .

IT 457617-62-8P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)

(synthesis and estrogen receptor binding activity of alkylated C-sugars as peptide bioconjugates)

RŅ 457617-62-8 CAPLUS

CN L-Glutamamide, N2-(3,7-anhydro-2-deoxy-4,5,6,8-tetra-0-methyl-D-glycero-D-talo-octonoyl)-L-lysyl-D-cysteinyl-L-isoleucyl-L-leucyl-L-cysteinyl-L-

arginyl-L-leucyl-L-leucyl-, cyclic (2→5)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B



PAGE 2-A

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:427283 CAPLUS
- DN 139:230977
- TI A serendipitous discovery of antifreeze protein-specific activity in C-linked antifreeze glycoprotein analogs

- AU Eniade, Adewale; Purushotham, Madhusudhan; Ben, Robert N.; Wang, J. B.; Horwath, Kathleen
- CS Department of Chemistry, State University of New York at Binghamton, Binghamton, NY, 13902, USA
- SO Cell Biochemistry and Biophysics (2003), 38(2), 115-124 CODEN: CBBIFV; ISSN: 1085-9195
- PB Humana Press Inc.
- DT Journal
- LA English
- OS CASREACT 139:230977
- Structurally diverse carbon-linked (C-linked) analogs of antifreeze AB glycoprotein (AFGP) have been prepared via linear or convergent solid phase synthesis. These analogs range in mol. weight from approx 1.5-4.1 KDa and do not possess the β -D-galactose-1,3- α -D-N-acetylgalactosamine carbohydrate moiety or the L-threonine-L-alanine-L-alanine polypeptide backbone native to the AFGP wild-type. Despite these dramatic structural modifications, the 2.7-KDa and 4.1-KDa analogs possess antifreeze protein-specific activity as determined by recrystn.-inhibition (RI) and thermal hysteresis (TH) assays. These analogs are weaker than the wild-type in their activity, but nanoliter osmometry indicates that these compds. are binding to ice and affecting a localized f.p. depression. This is the first example of a C-linked AFGP analog that possesses TH and RI activity and suggests that the rational design and synthesis of chemical and biol. stable AFGP analogs is a feasible and worthwhile endeavor. Given the low degree of TH activity, these compds. may prove useful for the protection of cells during freezing and thawing cycles.
- IT 255851-86-6P 592532-40-6P 592532-44-0P
 - RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and evaluation of C-linked antifreeze glycoprotein oligopeptide analogs using recrystn. inhibition)
- RN 255851-86-6 CAPLUS
- CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

RN 592532-40-6 CAPLUS
CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 592532-44-0 CAPLUS
CN Glycine, N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-Llysylglycylglycyl-(9CI) (CA INDEX NAME)

HO R R R O O O (CH2) 4 S N H O (CH2) 4
$$\times$$

PAGE 1-D

PAGE 2-A

НО⊳

HO.____

PAGE 2-B

PAGE 2-C

N H

PAGE 2-D

N H

IT 255851-84-4

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and evaluation of C-linked antifreeze glycoprotein oligopeptide analogs using recrystn. inhibition)

RN 255851-84-4 CAPLUS

CN Glycine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:91084 CAPLUS

DN 139:261462

TI Synthesis of permethylated $\alpha\text{-}D\text{-}mannosyl\text{-}acetic acid, a new type of bio-conjugate}$

AU Brunel, Florence M.; Taylor, K. Grant; Spatola, Arno F.

CS Department of Chemistry and the Institute for Molecular Diversity and Drug Design, University of Louisville, Louisville, KY, 40292, USA

SO Tetrahedron Letters (2003), 44(6), 1287-1289 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 139:261462

GI

AB A concise stereoselective 3-step conversion of Me α -D-mannopyranoside to α -D-2,3,4,6-tetra-O-methyl-mannosyl-acetic acid I is described. After methylation of the alc. functions, an allylation is performed. Mannopyranoside The resulting alkene undergoes oxidative cleavage to the acid, an alkylated C-sugar, appropriate for attachment to peptides or other drug candidates for solubility enhancement. 8 Mg of leucine attached to I could be completely dissolved in 1 mL of ether, while leucine itself is insol. in ether. 6 Mg of leucine could not be dissolved in 0.25 mL of distilled water while 12 mg of leucine attached to the acid derivative was completely soluble in the same amount of water. With the bioconjugate attached, leucine water solubility was increased to at least 48 mg/mL; leucine itself is soluble only to 23 mg/mL.

T 603131-28-8
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation,

nonpreparative)

(synthesis of permethylated mannosyl-acetic acid as a new type of bio-conjugate from mannopyranoside via stereoselective allylation and oxidative bond cleavage)

RN 603131-28-8 CAPLUS

CN L-Leucine, N-(3,7-anhydro-2-deoxy-4,5,6,8-tetra-O-methyl-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:826257 CAPLUS

DN 138:170449

TI Synthesis of sugar azido or amino esters and their use as building blocks for the preparation of saccharide nucleosides

AU Xie, Juan

CS Universite Pierre et Marie Curie, Laboratoire de Chimie des Glucides, UMR 7613, Paris, 75005, Fr.

SO European Journal of Organic Chemistry (2002), (20), 3411-3418 CODEN: EJOCFK: ISSN: 1434-193X

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 138:170449

AB Several sugar azido or amino esters bearing an α - or a β -C-D-glucopyranosyl backbone have been prepared by TMSOTf/Ac20-mediated α -C-glycosylation with concurrent selective removal of the primary benzyl group or selective acetolysis of the primary benzyl group of β -C-glycoside as key steps. Such structures have been successfully used as scaffolds for the synthesis of novel saccharide nucleosides.

IT 497227-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of sugar azido or amino esters and their use as building blocks for prepn. of saccharide nucleosides via C-glycosylation and regioselective acetolysis)

RN 497227-05-1 CAPLUS

CN Uridine, 5'-[[8-[[5-(acetylamino)-2,6-anhydro-5,7,8-trideoxy-1,3,4-tris-0-(phenylmethyl)-D-glycero-L-gulo-octitol-8-yl]amino]-2,6-anhydro-7,8-dideoxy-8-oxo-3,4,5-tris-0-(phenylmethyl)-L-glycero-L-gulo-octonoyl]amino]-5'-deoxy-2',3'-bis-0-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-B

___ Ph

_ Ph

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8
     ANSWER 18 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
     2002:716289 CAPLUS
AN
     137:232918
DN
TI
     Helicomimetics and stabilized LXXLL peptidomimetics
     Spatola, Arno F.; Leduc, Anne-Marie
     University of Louisville, USA
PA .
     PCT Int. Appl., 22 pp.
so
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
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     WO 2002072597
                          A2
                                20020919
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PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002072597 A2 20020919 WO 2002-US7093 20020311

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005054770 A1 20050310 US 2004-471120 20040923

PRAI US 2001-274846P P 20010309 WO 2002-US7093 W 20020311

OS MARPAT 137:232918

A helicomimetic compound for stabilizing the α -helical structure of a AB protein fragment, which can serve as an agonist or antagonist of protein-protein interactions, comprises a compound of structure R1-(Xn)-D-Cys-Y-Y-L-Cys-(Xn)-R2 [R1 is H, an alkyl, aryl, acetyl, formyl, or other blocking or solubilizing group, such as a polyethylene glycol (PEG) or other polyether moiety, linked to the N-terminal nitrogen through a carbon-nitrogen bond; X is one or more natural or unnatural amino acids, linked together in a chain from 0 to n in length; Y is a natural or unnatural amino acid, usually of the L-configuration, and with two such amino acids that need not be identical, separating the pairs of cysteines to form an i to i + 3 type of disulfide bridged unit; R2 is OH, NH2, NHR, OR, or other blocking or solubilizing group, such as polyethylene glycol (PEG) or other polyether moiety, linked to the C-terminal carbonyl through an oxygen or carbon or nitrogen linkage, such as an amide group]. The invention includes helix-stabilized compds. that contain the so-called NR Box found in a large number of Nuclear Receptor Coactivator Proteins. Box sequence, consisting of Leu-Xxx-Yyy-Leu-Leu within a longer peptide, is found in both coactivator proteins and also in certain nuclear receptors. The Boc-based Merrifield solid-phase method was used to prepare linear and cyclic peptides, including H-Lys-His-Lys-Ile-Leu-His-Arg-Leu-Leu-Gln-Asp-Ser-Ser-OH (AML-I-89/2) and H-D-Lys-cyclo(D-Cys-Ile-Leu-Cys)-Arg-Leu-Leu-Gln-NH2 (AKG-I-28). Ki values are tabulated for the peptides against estrogen receptors (ER) alpha and beta. Short linear peptides that contain the LXXLL sequence, such as Leu-Asn-Gln-Leu-Leu, do not display any inhibitory activity with respect to the desired effect of inhibiting the binding of the estrogen receptors to the helical segment of coactivator proteins. Compds. that contain a D-Cys, L-Cys pairing are especially active with respect to binding inhibition.

IT 459844-33-8P, AML-I-31

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of α -helix stabilized LXXLL peptidomimetics)

RN 459844-33-8 CAPLUS

CN L-Leucine, N-(3,7-anhydro-2-deoxy-D-manno-octonoyl)-L-leucyl-L- α -glutamyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:716285 CAPLUS

DN 137:217246

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Preparation of alkylated C-glycoside glycopeptides
TI
     Spatola, Arno F.; Taylor, K. Grant; Brunel, Florence
IN
PΑ
     University of Louisville, USA
SO
     PCT Int. Appl., 24 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                         KIND
     PATENT NO.
                                DATE
                                           APPLICATION NO.
                                                                   DATE
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                                -----
                                20020919
                                           WO 2002-US7092
                                                                   20020311
     WO 2002072593
                         A2
PΙ
     WO 2002072593
                         A3
                                20030313
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2004-471328
                         A1
                                20050317
                                                                   20041026
     US 2005059814
PRAI US 2001-274860P
                          Ρ
                                20010309
     WO 2002-US7092
                          W
                                20020311
     MARPAT 137:217246
os
GI
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Ι

The present invention relates to alkylated C-glycoside glycopeptides which are new carbohydrate derivs. based on the "C-Sugar" platform. These alkylated C-sugars are converted from hydrophilic, hydrogen-bonded saccharide derivs. and are very stable, highly soluble and relatively low mol. weight structures. These alkylated C-sugars can serve as effective bio-conjugates and pharmaceutical carriers. The alkylated C-sugar have the formula I, wherein X = (CH2OR)n; n = 0-4; R = aryl, alkyl, or halogen-substituted aryl or alkyl, Y = (CH2)mNH2, (CH2)mCO2H, (CH2)mOH, (CH2)mCHO, (CH2)mCl, and m = 0-3.

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of alkylated C-glycoside glycopeptides)

RN 457617-62-8 CAPLUS

CN L-Glutamamide, N2-(3,7-anhydro-2-deoxy-4,5,6,8-tetra-O-methyl-D-glycero-D-talo-octonoyl)-L-lysyl-D-cysteinyl-L-isoleucyl-L-leucyl-L-cysteinyl-L-arginyl-L-leucyl-L-leucyl-, cyclic (2→5)-disulfide (9CI) (CA INDEX NAME)

H₂N_

PAGE 1-B

PAGE 2-A



- L8 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:692298 CAPLUS
- DN 138:385694
- TI Expanding diversity: modification of linear and cyclic peptides by C-glycosylation and N-methylation
- AU Brunel, Florence; Leduc, Anne-Marie; Singh, Sujan; Tang, Xiaoping; Vogel, David M.; Taylor, K. Grant; Spatola, Arno F.
- CS Department of Chemistry and The Institute for Molecular Diversity and Drug Design, University of Louisville, Louisville, KY, 40292, USA
- SO Peptides: The Wave of the Future, Proceedings of the Second International and the Seventeenth American Peptide Symposium, San Diego, CA, United

States, June 9-14, 2001 (2001), 170-171. Editor(s): Lebl, Michal; Houghten, Richard A. Publisher: American Peptide Society, San Diego, Calif.

CODEN: 69DBAL; ISBN: 0-9715560-0-8

DT Conference

LA English

AB A symposium report. Several pseudoglycopeptides were synthesized through N-methylation and the introduction of C-glycosides.

IT 528598-56-3P 528598-60-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of pseudoglycopeptides by C-glycosylation and N-methylation)

RN 528598-56-3 CAPLUS

CN L-Leucine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-L-leucyl-L- α -glutamyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 528598-60-9 CAPLUS

CN L-Leucine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-L-leucyl-3-(2-naphthalenyl)alanyl-ψ(CH2-NH)-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8
     ANSWER 21 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2002:623042 CAPLUS
DN
     138:14142
     Synthesis of a 56 component library of sugar β-peptides
TI
ΑU
     Lohse, Anders; Schweizer, Frank; Hindsgaul, Ole
     Department of Chemistry, University of Aarhus, Aarhus C., DK-8000, Den.
CS
     Combinatorial Chemistry and High Throughput Screening (2002), 5(5),
SO
     CODEN: CCHSFU; ISSN: 1386-2073
PΒ
     Bentham Science Publishers
DT
     Journal
LA
     English
os
     CASREACT 138:14142
GΙ
```

Many biol. processes of vital importance are triggered by the mol. recognition of small carbohydrate units by proteins and receptors thus leading to the belief that carbohydrates could act as candidates for the design of new drugs. We have developed a new useful synthetic approach, which can be applied in a combinatorial manner, giving access to 1,1-di-substituted pyrans projecting amide side chains in both the α - and β -directions. Thus, treatment of the readily accessible hemiketal (I) with TFA followed by trimethylsilyl trifluoromethanesulfonate (TMSOTf) in the presence of a nitrile gives dihydrooxazinones (II) via a new type of modified intramol. Ritter reaction. The dihydrooxazinones can either be isolated or used directly in reactions with a broad variety of amines. Final deprotection furnishes the 1,1-di-substituted sugar β -peptides having the general structure (III).

IT 477718-63-1P 477718-64-2P 477718-65-3P 477718-66-4P 477718-67-5P 477718-68-6P 477718-70-0P 477718-71-1P 477718-72-2P 477718-73-3P 477718-77-7P 477718-78-8P

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477718-79-9P 477718-80-2P 477718-81-3P
                      477718-82-4P 477718-84-6P 477718-85-7P
                      477718-86-8P 477718-87-9P 477718-91-5P
                      477718-92-6P 477718-93-7P 477718-94-8P
                      477718-95-9P 477718-96-0P 477718-98-2P
                      477718-99-3P 477719-00-9P 477719-01-0P
                      477801-13-1P 477801-14-2P 477801-15-3P
                      477801-16-4P 477801-17-5P 477801-18-6P
                      477801-20-0P 477801-21-1P 477801-22-2P
                      477801-23-3P
                     RL: SPN (Synthetic preparation); PREP (Preparation)
                                   (prepn. of sugar \beta-peptides combinatorial library using
                                  intramol. Ritter addition)
RN
                     477718-63-1 CAPLUS
                     \alpha\text{-D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideoxy-N-1-dideo
CN
                     piperidinyl- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

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RN 477718-64-2 CAPLUS 
CN \alpha-D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-N-cyclobutyl-2,3-dideoxy- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

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RN 477718-65-3 CAPLUS CN \alpha-D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-N-cyclopentyl-2,3-dideoxy- (9CI) (CA INDEX NAME)
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RN 477718-66-4 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-N-cyclohexyl-2,3-dideoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-67-5 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-N-cycloheptyl-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-68-6 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-[[(1S,2R)-2-hydroxycyclohexyl]methyl]- (9CI) (CA INDEX NAME)

RN 477718-70-0 CAPLUS

CN Glycine, N-[3-(benzoylamino)-2,3-dideoxy-α-D-galacto-3-octulopyranosonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-71-1 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-(2-aminoethyl)-3-(benzoylamino)-2,3-dideoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-72-2 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 477718-73-3 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-octyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-77-7 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-1-piperidinyl-3- [[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-78-8 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclobutyl-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-79-9 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclopentyl-2,3-dideoxy-3- [[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-80-2 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclohexyl-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477718-81-3 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cycloheptyl-2,3-dideoxy-3- [[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-82-4 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[[(1S,2R)-2-hydroxycyclohexyl]methyl]-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-85-7 CAPLUS CN α -D-galacto-3-Octulopyranosonamide, N-(2-aminoethyl)-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477718-86-8 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[2-hydroxy-1-(hydroxymethyl)ethyl]-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-87-9 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-octyl-3-[[(1,2,3,4-tetrahydro-1-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477718-91-5 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-1-piperidinyl-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-92-6 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclobutyl-2,3-dideoxy-3- [[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-93-7 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclopentyl-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477718-94-8 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclohexyl-2,3-dideoxy-3- [[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-95-9 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cycloheptyl-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-96-0 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[[(1S,2R)-2-hydroxycyclohexyl]methyl]-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477718-98-2 CAPLUS

CN Glycine, N-[2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- α -D-galacto-3-octulopyranosonoyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477718-99-3 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-(2-aminoethyl)-2,3-dideoxy-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477719-00-9 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[2-hydroxy-1-(hydroxymethyl)ethyl]-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477719-01-0 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-octyl-3-[[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-13-1 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-3- [[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-14-2 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclobutyl-2,3-dideoxy-3- [[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-15-3 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cyclopentyl-2,3-dideoxy-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-16-4 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, N-cyclohexyl-2,3-dideoxy-3[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI)
(CA INDEX NAME)

RN 477801-17-5 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cycloheptyl-2,3-dideoxy-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-18-6 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[[(1S,2R)-2-hydroxycyclohexyl]methyl]-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 477801-20-0 CAPLUS

CN Glycine, N-[2,3-dideoxy-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- α -D-galacto-3-octulopyranosonoyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-21-1 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-(2-aminoethyl)-2,3-dideoxy-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-22-2 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-N-[2-hydroxy-1-

(hydroxymethyl)ethyl]-3-[[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477801-23-3 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-3- [[(1,2,3,4,4a,9,10,10a-octahydro-9-phenanthrenyl)carbonyl]amino]-N-octyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:457030 CAPLUS
- DN 137:263245
- TI Preparation of glycosyl amino acids as building blocks for the combinatorial synthesis of neoglycoconjugates
- AU Ziegler, Thomas; Roseling, Dirk; Subramanian, Lakshminarayanapuram R.
- CS Institute of Organic Chemistry, University of Tubingen, Tubingen, D-72076, Germany
- SO Tetrahedron: Asymmetry (2002), 13(9), 911-914 CODEN: TASYE3; ISSN: 0957-4166
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 137:263245
- AB Several neoglycosyl amino acids possessing a sugar residue, a spacer and a trifunctional amino acid moiety were synthesized both in solution and solid

phase by activating the carboxylic group as its pentafluorophenyl ester for condensation. The methodol. is useful for application in combinatorial syntheses of neoglycoconjugates as potential mimics for oligosaccharides. IT 463313-68-0P RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation) (prepn. of glycosyl amino acids as chiral synthons for the combinatorial synthesis of neoglycoconjugates and oligosaccharide mimics) 463313-68-0 CAPLUS RN L-Alanine, N-[5-(α -D-mannopyranosyloxy)pentyl]-L- α -asparaginyl-CN N-[5-(β -D-glucopyranosyloxy)pentyl]-L- α -asparaginyl-N-[5- $(\beta-D-galactopyranosyloxy)$ pentyl]-L- α -asparaginyl-N6-(3,7-(CA INDEX NAME) anhydro-2-deoxy-D-glycero-D-gulo-octonoyl)-D-lysyl- (9CI)

Absolute stereochemistry.

PAGE 1-B

IT 463313-65-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of glycosyl amino acids as chiral synthons for the combinatorial synthesis of neoglycoconjugates and oligosaccharide mimics)

RN 463313-65-7 CAPLUS

CN L-Lysine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-gulo-octonoyl)-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:182735 CAPLUS

DN 136:340919

TI β -C-Mannosides as Selectin Inhibitors

AU Kaila, Neelu; Chen, Lihren; Thomas, Bert E., IV; Tsao, Desiree; Tam, Steve; Bedard, Patricia W.; Camphausen, Raymond T.; Alvarez, Juan C.; Ullas, Giliyar

CS Departments of Chemical Sciences, Biological Chemistry, and Immunology & Hemostasis, Wyeth Research, Cambridge, MA, 02140, USA

SO Journal of Medicinal Chemistry (2002), 45(8), 1563-1566 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:340919

AB Potential E- and P-selectin inhibitors were synthesized to explore a hydrophobic area on the E-selectin surface and the PSGL-1 protein binding site on the P-selectin surface that was recently defined by crystallog. Three series of mannose-based compds. (libraries A, B, and C) were synthesized using solution phase parallel synthesis. Biol. evaluation of these compds. was done using two ELISA-based assays and transferred NOE (trNOE) expts. Some of the compds. showed better activity than sLex in the P-selectin assay.

IT 418771-21-8P

RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation) (combinatorial prepn. of β -C-mannosides as selectin

Absolute stereochemistry.

IT 330955-04-9P
 RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP

(Preparation) (combinatorial prepn. of β -C-mannosides as selectin inhibitors)

RN 330955-04-9 CAPLUS

CN Benzenebutanoic acid, 4-[(3,7-anhydro-2-deoxy-D-glycero-D-galacto-octonoyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 418771-13-8P

RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(combinatorial prepn. of $\beta\text{-C-mannosides}$ as selectin inhibitors)

RN 418771-13-8 CAPLUS

CN L-Asparagine, N-(3,7-anhydro-2-deoxy-D-glycero-D-galacto-octonoyl)-L- α -glutamyl- (9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:51484 CAPLUS

DN 136:102620

TI Preparation of glycopyranoside phosphates for treatment of T lymphocyte mediated inflammatory diseases

IN Cowden, William Butler; Eschler, Bart Michael; March, Darren Ray; Francis, Douglas John; Gerba, Sendaba; Bartell, Gavin James; Charlton, Brett

PA Praxis Pharmaceuticals Pty. Ltd., Australia

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PA'	rent 1	NO.			KIND DATE			APPLICATION NO.					DATE					
ΡI	WO	2002004472								WO 2001-AU831					20010711				
		W:	ΑĖ,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
			UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM			
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
	CA 2415214				A1	1 20020117			CA 2001-2415214						20010711				
	EΡ	EP 1301522			A1	20030416		EP 2001-949109					20010711						
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	JP 2004501985					Т	20040122			JP 2002-509335					20010711				
	NZ 523565					Α		2004	0326	NZ 2001-523565					20010711				
	US 2003176363					A1		20030918 US 2003-338679								20030109			
	US 6878690					B2		20050412											
PRAI	AU 2000-8723				Α		2000	0711					-						
	WO 2001-AU831					W		2001	0711										
os	MAI	RPAT :	136:	1026	20														
GI																			

Glycopyranoside phosphates I, wherein R is H, alkyl, alkenyl, alkynyl, AB aryl, heteroaryl, aralkyl, heteroaralkyl, cyano, hydroxytetrahydropyranyloxyalkyl and (CH2)nCOX, wherein n represents an integer from 0 to 20 inclusive and X is independently selected from Y, OY' and NY"Y"' wherein Y is independently selected from H, alkyl, alkenyl, alkynyl aryl, heteroaryl, aralkyl, heteroaralkyl, carbohydrate and Y' is independently selected from H, alkyl, alkenyl, alkynyl aryl, heteroaryl aralkyl, heteroaralkyl, and carbohydrate Y" and Y"' are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl aralkyl, heteroaralkyl or acyl wherein each of alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, heteroaralkyl and acyl may be optionally substituted, and the use thereof in treating diseases or conditions that are dependent on T-lymphocyte migration, as well as compns. containing said compds. Thus, phosphoric acid mono[6-(3-hexyloxypropyl)-3,4,5-trihydroxy-tetrahydropyran-2-yl-methyl] ester sodium salt was prepared Title glycosides may be used for treating diseases such as rheumatoid arthritis, multiple sclerosis, acute disseminated encephalomyelitis, psoriasis, Crohn's disease, T cell-mediated dermatitis, stromal keratitis, uveitis, thyroiditis, sialitis or type I diabetes. IT 388593-58-6P 388593-75-7P 388593-81-5P

TT 388593-58-6P 388593-75-7P 388593-81-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of glycopyranoside phosphates for treatment of T-lymphocyte mediated inflammatory diseases)

RN 388593-58-6 CAPLUS

CN L-Phenylalanine, N-[(3\xi)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 388593-75-7 CAPLUS

CN L-Glutamic acid, N-[(3\xi)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoyl]-, disodium salt (9CI) (CA INDEX NAME)

●2 Na

RN 388593-81-5 CAPLUS

CN D-manno-Octonamide, 3,7-anhydro-2-deoxy-N-(2-phenylethyl)-, 8-(dihydrogen phosphate), monosodium salt, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

IT 388593-61-1P 388593-62-2P 388593-63-3P 388593-64-4P 388593-78-0P 388593-79-1P 388593-80-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of glycopyranoside phosphates for treatment of T-lymphocyte mediated inflammatory diseases)

RN 388593-61-1 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-62-2 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-63-3 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-4,5,6-tri-O-acetyl-3,7-anhydro-2-deoxy-8-O-(triphenylmethyl)-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-64-4 CAPLUS

CN L-Phenylalanine, N-[(3ξ)-4,5,6-tri-O-acetyl-3,7-anhydro-2-deoxy-D-manno-octonoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-78-0 CAPLUS

CN L-Glutamic acid, N-[(3ξ)-3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-D-manno-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 388593-79-1 CAPLUS

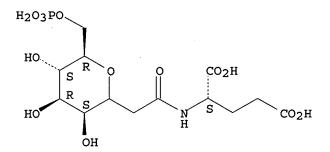
CN L-Glutamic acid, N-[(3ξ)-3,7-anhydro-2-deoxy-4,5,6-tris-0-(phenylmethyl)-8-0-phosphono-D-manno-octonoyl]-, 1,5-[bis(phenylmethyl)] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 388593-80-4 CAPLUS

CN L-Glutamic acid, N-[(3\xi)-3,7-anhydro-2-deoxy-8-O-phosphono-D-manno-octonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:841083 CAPLUS

DN 136:134978

TI Chain Extension of Sugar δ -Lactones with the Enolate of tert-Butyl Bromoacetate and Elaboration into Functionalized C-Ketosides, C-Glycosides, and C-Glucosyl Glycines

AU Schweizer, Frank; Inazu, Toshiyuki

CS Noguchi Institute, Tokyo, 173-0003, Japan

SO Organic Letters (2001), 3(25), 4115-4118

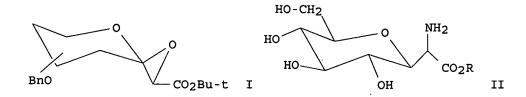
CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society
DT Journal

LA English

OS CASREACT 136:134978

GΙ



AB We describe the synthesis of a series of exocyclic sugar epoxides I prepared in a one-step procedure from sugar δ -lactones with the enolate of tert-Bu bromoacetate. Ring opening of the sugar oxiranes provides C-ketosides while reduction affords functionalized C-glycosides bearing an α -hydroxy ester moiety. The α -hydroxy ester can be converted into C-glucosyl glycine analogs II.

IT 391658-62-1P 391658-63-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (chain extension of sugar δ -lactones with the enolate of tert-Bu bromoacetate and elaboration into functionalized C-ketosides, C-glycosides and C-glucosyl glycines)

RN 391658-62-1 CAPLUS

CN D-erythro-L-talo-Octonamide, 2-amino-3,7-anhydro-2-deoxy-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 391658-63-2 CAPLUS

CN D-erythro-L-talo-Octonamide, 3,7-anhydro-2-(cyclohexylamino)-2-deoxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:675317 CAPLUS

DN 136:53963

TI One pot conversion of ketoses into sugar $\beta\text{-peptides}$ via a Ritter reaction

AU Schweizer, Frank; Lohse, Anders; Otter, Albin; Hindsgaul, Ole

CS Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.

SO Synlett (2001), (9), 1434-1436 CODEN: SYNLES; ISSN: 0936-5214

PB Georg Thieme Verlag

DT Journal

LA English

OS CASREACT 136:53963

AB α -D-Galacto-2-deoxy-oct-3-ulopyranosonic acids can be converted into unnatural glycopeptides via a one pot intramol. Ritter reaction. Initially, the ketopyranoside reacts under Lewis acid catalyzed conditions with a nitrile (aromatic or aliphatic) to form a glycosylimino anhydride intermediate which can be isolated. Exposure of this intermediate to simple primary amines or amino acids produces novel sugar- β -peptides. Three different nitriles and three different amines have been used to generate 6 sugar β -peptides to demonstrate the generality of this reaction.

IT 381724-80-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of sugar β -peptides via one-pot intramol. Ritter reaction)

RN 381724-80-7 CAPLUS

CN α-D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-(phenylmethyl)-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 381724-78-3 CAPLUS CN Glycine, N-[3-(acetylamino)-2,3-dideoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- α -D-galacto-3-octulopyranosonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 381724-79-4 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-3-(acetylamino)-N-(phenylmethyl)-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 381724-81-8 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, N-cycloheptyl-2,3-dideoxy-3-[(phenylacetyl)amino]-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 381724-82-9 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 2,3-dideoxy-3- [(phenylacetyl)amino]-N-(phenylmethyl)-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 381724-83-0 CAPLUS

CN α -D-galacto-3-Octulopyranosonamide, 3-(benzoylamino)-2,3-dideoxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:601763 CAPLUS

DN 135:331663

TI A General Synthesis of Structurally Diverse Building Blocks for Preparing Analogues of C-Linked Antifreeze Glycoproteins

AU Eniade, Adewale; Murphy, Anastasia V.; Landreau, Geraldine; Ben, Robert N.

CS Department of Chemistry, State University of New York at Binghamton, Binghamton, NY, 13902, USA

SO Bioconjugate Chemistry (2001), 12(5), 817-823 CODEN: BCCHES; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English

OS CASREACT 135:331663

AB A synthetic methodol. to afford unusual glycoconjugate building blocks useful for the solid-phase synthesis of C-linked antifreeze glycoprotein (AFGP) analogs is described. Such compds. are urgently required in order to elucidate the mol. mechanism by which AFGPs function. All reactions are general in nature and accommodate structural variation in the carbohydrate moiety, polypeptide backbone, and amino acid side chain.

IT 369649-14-9P 369649-16-1P 369649-17-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of glycopeptide building blocks that can be useful for the solid-phase synthesis of C-linked antifreeze glycoprotein analogs)

RN 369649-14-9 CAPLUS

CN L-Alanine, N6-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-[(1,1-dimethylethyl)dimethylsilyl]-D-glycero-L-gluco-octonoyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-L-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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PAGE 2-A

RN 369649-16-1 CAPLUS

CN Glycine, N6-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-[(1,1-dimethylethyl)dimethylsilyl]-D-glycero-L-gluco-octonoyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-L-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 369649-17-2 CAPLUS

CN Glycine, N5-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-[(1,1-dimethylethyl)dimethylsilyl]-D-glycero-L-gluco-octonoyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-ornithylglycyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:208811 CAPLUS

DN 134:353532

TI Fully Convergent Solid Phase Synthesis of Antifreeze Glycoprotein Analogues

AU Eniade, Adewale; Ben, Robert N.

CS Department of Chemistry, State University of New York, Binghamton, NY, 13902, USA

SO Biomacromolecules (2001), 2(2), 557-561 CODEN: BOMAF6; ISSN: 1525-7797

PB American Chemical Society

DT Journal

LA English

OS CASREACT 134:353532

AB The convergent solid phase synthesis of C-linked analogs of antifreeze glycoprotein (AFGP) has been achieved. In this approach, three to six carbohydrate residues are simultaneously coupled to a resin-bound polypeptide. Glycopeptides ranging from 1.6 to 3.0 kDa are easily prepared in 26-44% yield demonstrating the utility of this approach.

IT 339149-38-1P 339149-39-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of antifreeze glycoprotein analogs using convergent solid phase synthesis techniques)

RN 339149-38-1 CAPLUS

CN Glycine, N-acetylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-, hydrazide (9CI) (CA INDEX NAME)

PAGE 1-B

RN 339149-39-2 CAPLUS
CN Glycine, N-acetylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycylglycyl-, hydrazide (9CI) (CA INDEX NAME)

PAGE 1-D

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─OH.

PAGE 2-C

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:125930 CAPLUS

DN 132:322131

TI Glycomimetics: A Programmed Approach toward Neoglycopeptide Libraries

AU Arya, Prabhat; Kutterer, Kristina M. K.; Barkley, Angela

CS Chemical Biology Program, Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A OR6, Can.

SO Journal of Combinatorial Chemistry (2000), 2(2), 120-126 CODEN: JCCHFF; ISSN: 1520-4766

PB American Chemical Society

DT Journal

LA English

A programmed synthesis of neoglycopeptides has been developed in which AB two, similar or different, glycoside moieties could be attached either (i) at the N-terminal of short peptides or (ii) one at the N-internal and the other(s) at the N-terminal site, in a highly flexible and controlled manner. A stepwise branching of N-terminal peptides has been achieved by glycoside aldehyde reductive amination followed by the glycoside carboxylic acid coupling. In another approach, after N-alkylation with qlycoside aldehyde, the N-qlycosylated derivative is subjected to peptide synthesis. This is then followed by the attachment of the second glycoside moiety at the N-terminal using either glycoside aldehyde or glycoside carboxylic acid derivative Alternatively, the attachment of second and third glycoside derivs. could be achieved simultaneously, by reductive amination/carboxylic acid couplings. The methodologies presented here are highly versatile and combine diversity in both peptides/pseudopeptides and glycoside moieties.

TT 193156-93-3P 267234-65-1P 267234-66-2P 267234-67-3P 267234-68-4P 267234-69-5P 267234-70-8P 267234-71-9P 267234-72-0P 267234-73-1P 267234-74-2P 267234-75-3P

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267234-76-4P 267234-77-5P 267234-78-6P
     267234-79-7P 267234-80-0P 267234-81-1P
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     267234-94-6P 267234-95-7P 267234-96-8P
     267234-97-9P 267234-98-0P 267234-99-1P
     267235-00-7P 267235-01-8P 267235-02-9P
     267235-09-6P 267235-10-9P 267235-11-0P
     267235-12-1P 267235-13-2P 267235-14-3P
     267235-15-4P 267235-16-5P 267235-17-6P
     267235-18-7P 267235-19-8P 267235-20-1P
     267235-21-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of neoglycopeptide libraries for use as
        glycomimetics)
     193156-93-3 CAPLUS
RN
     Glycine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-
CN
     octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-
     qalacto-octitol-8-yl)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 267234-65-1 CAPLUS
CN D-glycero-L-galacto-Octitol, 8-[(2-amino-2-oxoethyl) (4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-66-2 CAPLUS
CN L-glycero-L-galacto-Octitol, 8-[(2-amino-2-oxoethyl) (4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-67-3 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[(2-amino-2-oxoethyl) (4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-68-4 CAPLUS

CN D-glycero-L-galacto-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl] (4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-69-5 CAPLUS

CN L-glycero-L-galacto-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl] (4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-

anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-70-8 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-71-9 CAPLUS

CN D-glycero-L-galacto-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

RN 267234-72-0 CAPLUS

CN L-glycero-L-galacto-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-73-1 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-74-2 CAPLUS

CN L-glycero-L-galacto-Octitol, 8-[(2-amino-2-oxoethyl)(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-manno-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

RN 267234-75-3 CAPLUS

CN L-Alanine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-76-4 CAPLUS

CN L-Phenylalanine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-77-5 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

RN 267234-78-6 CAPLUS

CN D-glycero-D-gulo-Octitol, 1-[[(1S)-2-amino-1-methyl-2-oxoethyl] (4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)amino]-3,7-anhydro-1,2-dideoxy-, 4,5,6,8-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-79-7 CAPLUS

CN D-glycero-D-manno-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-80-0 CAPLUS

CN D-glycero-D-manno-Octitol, 8-[[(1S)-2-amino-1-methyl-2-oxoethyl] (4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-81-1 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-82-2 CAPLUS

CN D-glycero-D-gulo-Octitol, 1-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-3,7-anhydro-1,2-dideoxy-, 4,5,6,8-tetraacetate (9CI) (CA INDEX NAME)

CN D-glycero-D-manno-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-84-4 CAPLUS

CN D-glycero-D-manno-Octitol, 8-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-85-5 CAPLUS

CN D-glycero-L-gulo-Octitol, 8-[[(1S)-1-(aminocarbonyl)-3-methylbutyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

RN 267234-86-6 CAPLUS

CN D-glycero-D-gulo-Octitol, 1-[[(1S)-1-(aminocarbonyl)-3-methylbutyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)amino]-3,7-anhydro-1,2-dideoxy-, 4,5,6,8-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-87-7 CAPLUS

CN D-glycero-D-manno-Octitol, 8-[[(1S)-1-(aminocarbonyl)-3-methylbutyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-88-8 CAPLUS

CN D-glycero-D-manno-Octitol, 8-[[(1S)-1-(aminocarbonyl)-3-methylbutyl](4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-

octonoyl)amino]-2,6-anhydro-7,8-dideoxy-, 1,3,4,5-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-89-9 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-90-2 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

RN 267234-91-3 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-92-4 CAPLUS

CN Glycine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)-L-phenylalanyl- (9CI) (CA INDEX NAME)

CN Glycine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-94-6 CAPLUS

CN Glycine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-95-7 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-phenylalanyl- (9CI) (CA INDEX NAME)

RN 267234-96-8 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-97-9 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)-L-phenylalanyl- (9CI) (CA INDEX NAME)

RN 267234-98-0 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267234-99-1 CAPLUS

CN L-Leucinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

RN 267235-00-7 CAPLUS

CN L-Leucinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-01-8 CAPLUS

CN L-Leucinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

RN 267235-02-9 CAPLUS

CN L-Leucinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-09-6 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)- (9CI) (CA INDEX NAME)

RN 267235-10-9 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-11-0 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-12-1 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)- (9CI) (CA INDEX NAME)

RN 267235-13-2 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-14-3 CAPLUS

CN L-Alaninamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-L-phenylalanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-15-4 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-

octonoyl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-16-5 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-17-6 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-D-ido-octonoyl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-D-manno-octitol-8-yl)- (9CI) (CA INDEX NAME)

RN 267235-18-7 CAPLUS
CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-19-8 CAPLUS
CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)- (9CI) (CA INDEX NAME)

RN 267235-20-1 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267235-21-2 CAPLUS

CN Glycinamide, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)-L-alanyl-N2-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-gulo-octitol-8-yl)- (9CI) (CA INDEX NAME)

WO 1998-US25783

OS GI MARPAT 131:45047

RE CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 30 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
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AN
DN
     131:45047
     Preparation of sialyl Lewisx and sialyl Lewisa glyco-mimetics as
ΤI
     selectin inhibitors
     Anderson, Mark B.; Kobayashi, Yoshiyuki; Itoh, Kazuhiro; Holme, Kevin R.;
IN
     Cui, Jingrong; Fugedi, Peter; Peto, Csaba F.; Wang, Li; Vazir, Harish
     Glycomed Incorporated, USA; Sankyo Co., Ltd.
PA
so
     PCT Int. Appl., 184 pp.
     CODEN: PIXXD2
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     Patent
     English
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PΙ
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     WO 9929705
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             TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
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             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                19990628
                                            AU 1999-18042
     AU 9918042
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                                19971208
PRAI US 1997-67971P
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W

$$R^7$$
 R^6 R^6 R^6 R^7 R^6 R^6 R^7 R^9 R^9

The present invention provides a series of compds. in the form of chemical AB and physiol. stable glyco-mimics or glyco-epitopes I-III and MO2C(CH2) nNHC(O) YG wherein W is a covalent bond, -C(=O)-, -C(=O)-CH2-, -C(=0) -CH(-NH-C(=0) -O-t-Bu) -CH2-, -C(=S)-, -C(=S)-S-, -C(=S)-S-CH2-, -C(=S)-CH2-CH2-, -C(=S)-NH-, -CH2-CH2-O-, -CH2-CH(CH3)-CH2-, -CH2-CH(CH2OH)-CH2-, -CH2-C(=CH2)-CH2-; X is -NR3-, -C(R8)2-, -NR8-, CH-S-sialic acid, CH-O-sialic acid, -O- or -S-; Y is a covalent bond, -(CH2)n-, -CH2-NH-C(=0)-, or -NH-C(=0)-; R1-R9 are independently selected from the group consisting of -H, -OH, alkyl, -CO2M, -CH2-CO2M, -CO2Me, -CH2-CO2Me, -CO2Et, -CH2CO2Et, -CH2-CH=CH-CO2M, -CH2-CH=CH-CO2Me, -CH2-CH=CH-CO2Et, -OSO3M, -CH2-OSO3M, -OPO3M2, -CH2-OPO3M2 with the proviso that at least one of R1-R9 is not -H or -OH; G is heterocycle; M is a metal, n is 1-3, that serve to functionally mimic the active features of biol. important oligosaccharides, such as but not limited to sialyl Lewisx and sialyl Lewisa. These structural glyco-mimetics are useful in the treatment of acute and chronic diseases and asthma. These compds. also are useful in the treatment of other selectin-mediated disorders, such as inflammation, cancer, diabetes, obesity, lung vasculitis, cardiac injury, reperfusion injuries, thrombosis, tissue rejection, arthritis, inflammatory bowel disease and pulmonary inflammation. Thus, carboxymethyl-piperidine-N-isopropenyl-C-fucoside IV was prepared and tested as selectin inhibitor (IC50 > 2500 μ M).

IT 227460-65-3P 227460-71-1P 227460-73-3P 227460-80-2P 227460-82-4P 227460-86-8P 227460-87-9P 227461-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sialyl Lewisx and sialyl Lewisa glyco-mimetics as selectin inhibitors)

RN 227460-65-3 CAPLUS

CN Butanoic acid, 4-[(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino](9CI) (CA INDEX NAME)

RN 227460-71-1 CAPLUS

CN Butanoic acid, 4-[[[(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)amino]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO

R

R

R

N

H

CCH₂)
$$_{3}^{R}$$

CO₂H

RN 227460-73-3 CAPLUS

CN Butanoic acid, 4-[[[(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 227460-80-2 CAPLUS

CN β-Alanine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)glycyl(9CI) (CA INDEX NAME)

RN 227460-82-4 CAPLUS

CN β -Alanine, N-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)glycyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 227460-86-8 CAPLUS

CN β -Alanine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 227460-87-9 CAPLUS

CN β-Alanine, N-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 227461-37-2 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(3,7-anhydro-2-deoxy-D-glycero-D-talooctonoyl)amino]methyl]-, trans- (9CI) (CA INDEX NAME)

L8 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:67133 CAPLUS

DN 130:182670

TI An access to glycoconjugate libraries through multicomponent reactions

AU Lockhoff, Oswald

CS Bayer AG, Central Research, ZF-WF Q18, Leverkusen, D-51368, Germany

SO Angewandte Chemie, International Edition (1999), Volume Date 1998, 37(24), 3436-3439

CODEN: ACIEF5; ISSN: 1433-7851

PB Wiley-VCH Verlag GmbH

DT Journal

LA English

AB A multicomponent condensation (MCC)-based combinatorial synthesis of glycoconjugate libraries using appropriate carbohydrate derivs. having aldehyde, amino, carboxylic acid and isocyanide groups with solid-phase Ugi reactions is discussed.

IT 204069-02-3P 204069-07-8P 204069-19-2P

204069-21-6P 204069-23-8P 204069-25-0P

204069-33-0P 220689-70-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(multicomponent condensation combinatorial synthesis of glycoconjugate libraries through Ugi reactions)

RN 204069-02-3 CAPLUS

CN D-erythro-L-galacto-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-07-8 CAPLUS

CN D-erythro-L-talo-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-3,7-

anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-19-2 CAPLUS

CN D-erythro-L-talo-Octonamide, 2-[acetyl[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-21-6 CAPLUS

RN 204069-23-8 CAPLUS

CN D-erythro-L-galacto-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][(4-methoxyphenyl)methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-25-0 CAPLUS

CN D-erythro-L-talo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][(4-methoxyphenyl)methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 204069-33-0 CAPLUS

CN D-glycero-D-gulo-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-3,7anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O(phenylmethyl)-β-D-glucopyranosyl]methyl]-, (2ξ)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 220689-70-3 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)- β -D-glucopyranosyl]methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-, (2 ξ)- (9CI) (CA INDEX NAME)

PAGE 2-A

RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1998:756365 CAPLUS
- DN 130:95830
- TI Automated, Solid-Phase Synthesis of C-Neoglycopeptides: Coupling of Glycosyl Derivatives to Resin-Bound Peptides
- AU Kutterer, Kristina M. K.; Barnes, Michael L.; Arya, Prabhat
- CS Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A OR6, Can.
- SO Journal of Combinatorial Chemistry (1999), 1(1), 28-31 CODEN: JCCHFF; ISSN: 1520-4766
- PB American Chemical Society
- DT Journal
- LA English

GI

A fully automated solid-phase synthesis of C-neoglycopeptides has been AB developed using a convergent strategy. In this approach, C-glycoside derivs. I and II were coupled to resin-bound peptides using a peptide synthesizer. An advantage of the convergent approach is the ability to introduce multiple glycoside units late in the synthesis. The approach presented is highly versatile and efficient and could be used for building C-neoglycopeptide libraries. In this study, neoglycopeptides Fmoc-Lys(R)-Gly2-NH2 (R = acyl group from I, n = 1; R = acyl group from II, n = 3) were obtained from the coupling of C-glycoside derivs. I and II to the free side chain amino group of short lysine-containing peptides. A similar approach was developed for the synthesis of bivalent neoglycopeptides Fmoc-Lys(R)-Gly-Ala-Gly-Lys(R)-Glyn-NH2 in an automated manner. The successful syntheses of these C-neoglycopeptides are the first examples of coupling of C-glycosyl carboxyl derivs. to the side chain amino groups of resin-bound peptides.

193156-93-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(automated, solid-phase synthesis of C-neoglycopeptides via coupling of glycosyl derivs. to resin-bound peptide side chains)

RN 193156-93-3 CAPLUS

IT

CN Glycine, N-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-O-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 219543-11-0P 219543-12-1P 219543-13-2P 219543-14-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(automated, solid-phase synthesis of C-neoglycopeptides via coupling of glycosyl derivs. to resin-bound peptide side chains)

RN 219543-11-0 CAPLUS

CN Glycinamide, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219543-12-1 CAPLUS

CN Glycinamide, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-[N-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-0-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)glycyl]-L-lysylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219543-13-2 CAPLUS

CN Glycinamide, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysylglycyl-L-alanylglycyl-N6-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysyl- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 219543-14-3 CAPLUS

Glycinamide, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N6-[N-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-0-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)glycyl]-L-lysylglycyl-L-alanylglycyl-N6-[N-(4,5,6,8-tetra-0-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(1,3,4,5-tetra-0-acetyl-2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)glycyl]-L-lysylglycylglycyl-(9CI) (CA INDEX NAME)

PAGE 1-B

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PAGE 2-B

THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 51 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 33 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN L8

1998:630402 CAPLUS AN

129:331035 DN

Synthesis of sialyl Lewis X mimetics using the Ugi four-component reaction ΤI

Tsai, Chung-Ying; Park, William K. C.; Weitz-Schmidt, Gabriele; Ernst, ΑU

Beat; Wong, Chi-Huey

Department Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, CS USA

Bioorganic & Medicinal Chemistry Letters (1998), 8(17), 2333-2338 so

CODEN: BMCLE8; ISSN: 0960-894X

ΡB Elsevier Science Ltd.

Journal DT

LA English

GI

AΒ Application of the Ugi four-component condensation to rapidly synthesize a library of glycopeptide mimics, e.g. I [R = Me, CF3, (CH2)4Me, (CH2)12Me, CH:CHCH:CHMe, Ph, 1-naphthylmethyl, 2-naphthylmethyl, 4-PhC6H4,

Ι

1-fluorenyl, 9-fluorenylmethyl] of the tetrasaccharide SLex as inhibitors of E- and P-selectin, and to study the effect of varied functionality in mimics on the inhibition is described. 215163-38-5P 215163-39-6P 215163-40-9P IT 215163-41-0P 215163-42-1P 215163-43-2P 215163-44-3P 215163-45-4P 215163-46-5P 215163-47-6P 215163-48-7P 215163-49-8P 215163-51-2P 215163-66-9P 215163-67-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (use of Ugi four-component reaction in prepn. of sialyl Lewis X glycopeptide mimics as selectin inhibitors) RN 215163-38-5 CAPLUS Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-CN dicarboxypropyl]-L-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-39-6 CAPLUS
CN Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-dicarboxypropyl]-D-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-40-9 CAPLUS
CN Glycine, N-(3,7-anhydro-2-deoxy-8-0-5,8,11,14-tetraoxahexadec-1-yl-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-dicarboxypropyl]-L-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 215163-41-0 CAPLUS

Glycine, N-(3,7-anhydro-2-deoxy-8-0-5,8,11,14-tetraoxahexadec-1-yl-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-dicarboxypropyl]-D-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

RN 215163-42-1 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-8-O-[3-[4-(4-phenoxyphenoxy)phenyl]propyl]-D-glycero-D-talo-octonoyl]-N-[(1S)-1,3-dicarboxypropyl]-L-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

__OMe

RN 215163-43-2 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-8-O-[3-[4-(4-phenoxyphenoxy)phenyl]propyl]-D-glycero-D-talo-octonoyl]-N-[(1S)-1,3-dicarboxypropyl]-D-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

__OMe

RN 215163-44-3 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-8-0-phenyl-D-glycero-D-talo-octonoyl)-N[(1S)-1,3-dicarboxypropyl]-L-alanyl-, 2-methyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 215163-45-4 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-8-O-phenyl-D-glycero-D-talo-octonoyl)-N[(1S)-1,3-dicarboxypropyl]-D-alanyl-, 2-methyl ester (9CI) (CA INDEX NAME)

RN 215163-46-5 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-8-0-phenyl-D-glycero-D-talo-octonoyl)-N-[(1S)-1-methyl-2-oxo-2-[(2-phenylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-47-6 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-8-0-phenyl-D-glycero-D-talo-octonoyl)-N-[(1R)-1-methyl-2-oxo-2-[(2-phenylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-48-7 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-8-O-phenyl-D-glycero-D-talo-octonoyl)-N-[(1S)-2-[(1,1-dimethylethyl)amino]-1-methyl-2-oxoethyl]- (9CI)

Absolute stereochemistry.

RN 215163-49-8 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-8-O-phenyl-D-glycero-D-talo-octonoyl)-N-[(1R)-2-[(1,1-dimethylethyl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-51-2 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-dicarboxypropyl]-L- α -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-66-9 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-5,8,11,14-tetraoxahexadec-1-yl-D-glycero-D-talo-octonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-A

RN 215163-67-0 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-[3-[4-(4-phenoxyphenoxy)phenyl]propyl]-D-glycero-D-talo-octonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 215163-31-8P 215163-32-9P 215163-33-0P
 215163-34-1P 215163-35-2P 215163-36-3P
 215163-37-4P 215163-64-7P 215163-65-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (use of Ugi four-component reaction in prepn. of sialyl Lewis
 X glycopeptide mimics as selectin inhibitors)
RN 215163-31-8 CAPLUS
CN Glycine, N-[3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-N-[(1S)-4-oxo-4-(phenylmethoxy)-1 [(phenylmethoxy)carbonyl]butyl]-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-32-9 CAPLUS
CN Glycine, N-[3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-8-O-5,8,11,14tetraoxahexadec-1-yl-D-glycero-D-talo-octonoyl]-N-[(1S)-4-oxo-4(phenylmethoxy)-1-[(phenylmethoxy)carbonyl]butyl]-L-alanyl-, methyl ester
(9CI) (CA INDEX NAME)

RN 215163-33-0 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-8-0-[3-[4-(4-phenoxyphenoxy)phenyl]propyl]-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-N-[(1S)-4-oxo-4-(phenylmethoxy)-1-[(phenylmethoxy)carbonyl]butyl]-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

__OMe

RN 215163-34-1 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-8-O-methyl-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-N-[(1S)-4-oxo-4-(phenylmethoxy)-1-[(phenylmethoxy)carbonyl]butyl]-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-35-2 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-phenyl-4,5,6-tris-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-N-[1-methyl-2-oxo-2-[(2-phenylethyl)amino]ethyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-36-3 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-O-phenyl-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-N-[2-[(1,1-dimethylethyl)amino]-1-methyl-2-oxoethyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 215163-37-4 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-D-glycero-Dtalo-octonoyl]-N-[(1S)-4-oxo-4-(phenylmethoxy)-1[(phenylmethoxy)carbonyl]butyl]-L-α-glutamyl-, 2-methyl
1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 215163-64-7 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-4,5,6-tris-O-(phenylmethyl)-8-O-5,8,11,14-tetraoxahexadec-1-yl-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 215163-65-8 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-[3-[4-(4-phenoxyphenoxy)phenyl]propyl]-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-

octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

IT 215163-50-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (use of Ugi four-component reaction in prepn. of sialyl Lewis X glycopeptide mimics as selectin inhibitors)

RN 215163-50-1 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)-N-[(1S)-1,3-dicarboxypropyl]-L-α-glutamyl-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:348137 CAPLUS

DN 129:81942

TI Diversity of C-linked neoglycopeptides for the exploration of subsite-assisted carbohydrate binding interactions

AU Arya, Prabhat; Kutterer, Kristina M. K.; Qin, Huiping; Roby, Johanne; Barnes, Michael L.; Kim, Jin M.; Roy, Rene

CS Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A OR6, Can.

SO Bioorganic & Medicinal Chemistry Letters (1998), 8(10), 1127-1132 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

Diversity of α -galactose based C-linked neoglycopeptides has been developed to explore the importance of subsite-assisted carbohydrate binding interactions. Deprotected C-linked neoglycopeptides, e.g., Ac-Lys(COCH2R)-Gly-NH2 (R = 1-deoxy-Cl- α -D-galacto-pyranosyl) (1b) and (S)-AcNHCH[(CH2)4N[(CH2)2R]2]CO-Gly-NH2 (same R) (2b), were synthesized and tested in competitive inhibition assays using a model enzyme-linked lectin (e.g., Maclura pomifera). Compound 2b, with two α -galactoside units on the side chain of the lysine residue of the dipeptide backbone, exhibited a remarkable effect with a 2.82-fold increase in its inhibitory properties (IC50 1.48 mM) in comparison to 1b (IC50 4.18 mM).

IT 209265-32-7P 209265-34-9P 209265-35-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(diversity of C-linked neoglycopeptides for exploration of subsite-assisted carbohydrate binding interactions)

RN 209265-32-7 CAPLUS

CN Glycinamide, N2-acetyl-N6-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209265-34-9 CAPLUS

CN Glycinamide, N2-acetyl-N6-[N-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-N-(2,6-anhydro-7,8-dideoxy-D-glycero-L-galacto-octitol-8-yl)glycyl]-L-lysyl-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 209265-35-0 CAPLUS

CN Glycinamide, N2-acetyl-N6-[N3,N5-bis[N-(3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-alanylglycyl]-3,5-diaminobenzoyl]-L-lysyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1998:293511 CAPLUS
- DN 129:4814
- TI Preparation of sialyl Lewis X mimetics as E-selectrin inhibitors
- IN Wong, Chi-huey; Moris-Varas, Francisco; Lin, Chun-cheng; Marron, Thomas G.; Woltering, Thomas; Weitz-Shmidt, Gabriele; Jablonowski, Jill
- PA Novartis A.-G., Switz.; Scripps Research Institute

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PCT Int. Appl., 53 pp.
SO
     CODEN: PIXXD2
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                                            APPLICATION NO.
     PATENT NO.
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                                                                    19971027
                                 19980507
                                            WO 1997-EP5909
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PΙ
     WO 9818805
                          A3
                                20030417
     WO 9818805
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         W:
             DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
             UZ, VN, YU, ZW
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
             TM, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
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                                19981103
                                            US 1996-744744
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     US 5830871
                                            US 1996-764315
                                                                    19961212
     US 5837862
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                                19981117
     AU 9853137
                          Α
                                19980522
                                            AU 1998-53137
                                                                    19971027
PRAI US 1996-744744
                          Α
                                19961028
     US 1996-764315
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                                19961212
     US 1997-896452
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                                19970718
                          W
                                19971027
     WO 1997-EP5909
     MARPAT 129:4814
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$$H_2C-OH$$
 H_2C-OH
 OH
 OH

Sialyl Lewis X mimetics which mimic the inhibition of selectin-mediated AB cellular adhesion by sialyl Lewis X having a core of formula [(I); R = Me, OH, carboxylate-containing sugar residue; Y = alkene; R1 = OH, NH2, amide, amino acid] were prepared Thus, compds. such as II were prepared and tested for ability to block the adhesion of HL-60 cells to immobilized sol-E-selectin. Compds. of formula I showed inhibition at 3mM of 70-80%, or IC50 values from 0.1-0.2mM. 186532-53-6P 186532-55-8P 186532-57-0P IT 194980-12-6P 194980-14-8P 204458-84-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of sialyl Lewis X mimetics as E-selectrin inhibitors) RN 186532-53-6 CAPLUS

Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoy1)- (9CI)

Absolute stereochemistry.

INDEX NAME)

CN

RN 186532-55-8 CAPLUS
CN L-Tyrosine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 194980-12-6 CAPLUS
CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-O-hexadecyl-D-glycero-D-talo-octonoyl]- (9CI) (CA INDEX NAME)

RN 194980-14-8 CAPLUS

CN Benzoic acid, 3-[[3,7-anhydro-2-deoxy-6-0-hexadecyl-D-glycero-D-talo-octonoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-84-4 CAPLUS

CN β-D-Talopyranoside, methyl 2-[(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 194980-10-4 194980-11-5 204458-91-3

204458-92-4 207387-06-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of sialyl Lewis X mimetics as E-selectrin inhibitors)

RN 194980-10-4 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-11-5 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-hexadecyl-4,5,6-tris-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-91-3 CAPLUS
CN Glycine, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-Dglycero-D-talo-octonoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-92-4 CAPLUS
CN L-Tyrosine, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207387-06-2 CAPLUS
CN β-D-Galactopyranoside, methyl 2-[[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]amino]-3-0-(carboxymethyl)-2-deoxy-4,6-0-[(S)-phenylmethylene]- (9CI) (CA INDEX NAME)

L8 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:257568 CAPLUS

DN 128:321842

TI Synthesis of benzylated (R)- and (S)-aminoethyl-C- α -D-mannosides as conformationally restricted building blocks for the preparation of E- and P-selectin antagonists

AU Roche, Didier; Banteli, Rolf; Winkler, Tammo; Casset, Florence; Ernst, Beat

CS Novartis Pharma Corp., East Hanover, NJ, 07936, USA

SO Tetrahedron Letters (1998), 39(17), 2545-2548 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB A straightforward synthesis for (R)- and (S)-aminoethyl-C- α -D-mannosides has been developed. The conformationally restricted mannosides serve as building blocks for the synthesis of a new class of selectin antagonists of type A.

IT 207107-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzylated (R) - and (S) -aminoethyl-C-mannosides as conformationally restricted building blocks for the prepn. of E- and P-selectin antagonists)

RN 207107-97-9 CAPLUS

CN D-erythro-L-allo-Octonamide, 3,7-anhydro-2-deoxy-2-methyl-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

```
1998:184112 CAPLUS
AN
     128:230687
DN
     Preparation of glycoconjugate amino acids for use as a
ΤI
     combinatorial library for receptor-site screening
IN
     Lockhoff, Oswald
PA
     Bayer A.-G., Germany
     Ger. Offen., 28 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
                                             APPLICATION NO.
                                                                     DATE
     PATENT NO.
                         KIND
                                 DATE
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                                             ______
                                                                     19960909
ΡI
     DE 19636538
                          A1
                                 19980312
                                             DE 1996-19636538
                                                                     19970827
                                 19980401
                                             EP 1997-114805
     EP 832898
                          A2
                          A3
                                 19980429
     EP 832898
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                                 19980309
                                             CA 1997-2214728
                                                                     19970905
     CA 2214728
                          Α1
                                                                     19970908
     JP 10101634
                          Α
                                 19980421
                                             JP 1997-259273
                          Α
                                 19960909
PRAI DE 1996-19636538
     CASREACT 128:230687; MARPAT 128:230687
OS
GI
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Using four-component reactions, title compds. R2CONR1CHRCOXR3 [(I); R = R1 AΒ = (same or different) alkyl, cycloalkyl, aralkyl, aromatic heterocycle, (substituted) tetrahydro-furanyl, (substituted) tetrahydro-pyranyl; R2 = H, R1; R3 = H, R1; X = O, NH, N(alkyl), S] were prepared as possible screening reagents for cellular receptor sites (no data), from reaction mixts. of an aldehyde, an amine, a carbon-acid, and an isonitrile, any of which could be a carbohydrate. Thus, 2,6-anhydro-3,4,5,7-tetra-0-benzyl-Dglycero-D-gulo-heptose, MeO-C6H4-4-CH2NH2, CH3CO2H, and c-C6H11NC were reacted to give II (65% over all yield, as a mixture of diastereomers). IT 204069-02-3P 204069-07-8P 204069-19-2P 204069-21-6P 204069-23-8P 204069-25-0P 204069-33-0P 204069-35-2P 204069-37-4P 204069-39-6P 204069-40-9P 204069-44-3P 204069-48-7P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (prepn. of glycoconjugate amino acids for use as a combinatorial library for receptor site screening) RN 204069-02-3 CAPLUS D-erythro-L-galacto-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-CN 3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI)

Absolute stereochemistry.

(CA INDEX NAME)

RN 204069-07-8 CAPLUS

CN D-erythro-L-talo-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-19-2 CAPLUS

CN D-erythro-L-talo-Octonamide, 2-[acetyl[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 204069-21-6 CAPLUS

CN D-erythro-L-galacto-Octonamide, 2-[acetyl[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-3,7-anhydro-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-23-8 CAPLUS

CN D-erythro-L-galacto-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-0-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][(4-methoxyphenyl)methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 204069-25-0 CAPLUS

CN D-erythro-L-talo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][(4-methoxyphenyl)methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-33-0 CAPLUS

CN D-glycero-D-gulo-Octonamide, 2-[acetyl[(4-methoxyphenyl)methyl]amino]-3,7anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O(phenylmethyl)-β-D-glucopyranosyl]methyl]-, (2ξ)- (9CI) (CA INDEX
NAME)

RN 204069-35-2 CAPLUS

CN D-erythro-L-talo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

RN

CN D-erythro-L-galacto-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-N-cyclohexyl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

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RN 204069-39-6 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][(4-methoxyphenyl)methyl]amino]-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O-(phenylmethyl)- β -D-glucopyranosyl]methyl]-, (2 ξ)- (9CI) (CA INDEX NAME)

RN 204069-40-9 CAPLUS

CN D-glycero-D-gulo-Octonamide, 2-[acetyl[[2,3,4,6-tetrakis-O-(phenylmethyl)β-D-glucopyranosyl]methyl]amino]-3,7-anhydro-2-deoxy-4,5,6,8-tetrakisO-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-Dglucopyranosyl]methyl]-, (2ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204069-44-3 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]-, (2ξ)- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 204069-48-7 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)- β -D-glucopyranosyl]methyl]amino]-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-N-[[2,3,4,6-tetrakis-O-(phenylmethyl)- β -D-galactopyranosyl]methyl]-, (2 ξ)- (9CI) (CA INDEX NAME)

IT 204069-46-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of glycoconjugate amino acids for use as a combinatorial library for receptor site screening)

RN 204069-46-5 CAPLUS

CN D-glycero-D-gulo-Octonamide, 3,7-anhydro-2-[[2,6-anhydro-3,4,5,7-tetrakis-O-(phenylmethyl)-D-glycero-D-gulo-heptonoyl][[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]methyl]amino]-N-1-cyclohexen-1-yl-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-, (2ξ)- (9CI) (CA INDEX NAME)

PAGE 2-A

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ANSWER 38 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN
L8
     1998:163599 CAPLUS
AN
     128:230633
DN
ΤI
     Preparation of sialyl Lewis x mimetics as E-selectin inhibitors
     Wong, Chi-Huey; Lin, Chun-Cheng; Woltering, Thomas J.; Marron, Thomas G.;
IN
     Moris-Varas, Francisco; Jablonowski, Jill; Weitz-Schmidt, Gabriele
     Novartis A.-G., Switz.; Scripps Research Institute; Wong, Chi-Huey; Lin,
PA
     Chun-Cheng; Woltering, Thomas J.; Marron, Thomas G.; Moris-Varas,
     Francisco; Jablonowski, Jill; Weitz-Schmidt, Gabriele
so
     PCT Int. Appl., 70 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 2
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                         _ _ _ _
                                            WO 1997-EP4649
                                                                    19970826
ΡI
     WO 9808854
                          A2
                                19980305
     WO 9808854
                          Α3
                                19980820
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         W:
             DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
             UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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19981103

GN, ML, MR, NE, SN, TD, TG

Α

US 5830871

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,

US 1996-744744

19961028

	US 5837862	Α	19981117	US 1996-764315	19961212
	AU 9747004	Α	19980319	AU 1997-47004	19970826
PRAI	US 1996-24556P	P	19960826		
	US 1996-744744	Α	19961028		
	US 1996-764315	Α	19961212		
	WO 1997-EP4649	W	19970826		
os	MARPAT 128:230633				
GI					

HO HO OH HO2C NH OH
$$_{R1}$$
 $_{YR^2}$ I $_{Ph}$ $_{O}$ $_$

AB Sialyl Lewis X mimetics which mimic the inhibition of selectin-mediated cellular adhesion by sialyl Lewis X having a core of formula I (R1 = Me, OH, carboxylate-containing sugar residue; Y = alkylene; R2 = hydroxy, amine, amide, amino acid) were prepared Thus, II was prepared and tested for ability to block the adhesion of HL-60 cells to immobilized sol-E-selectin (IC50 = 0.1-0.2 mM).

IT 186532-53-6P 186532-55-8P 186532-57-0P

194980-12-6P 204458-84-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of sialyl Lewis x mimetics as E-selectin inhibitors)

RN 186532-53-6 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186532-55-8 CAPLUS

CN L-Tyrosine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

RN 186532-57-0 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-12-6 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-hexadecyl-D-glycero-D-talo-octonoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-84-4 CAPLUS

CN β-D-Talopyranoside, methyl 2-[(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)amino]-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

IT 194980-11-5 194980-14-8 204458-91-3

204458-92-4 204458-93-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of sialyl Lewis x mimetics as E-selectin inhibitors)

RN 194980-11-5 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-hexadecyl-4,5,6-tris-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-14-8 CAPLUS

CN Benzoic acid, 3-[[3,7-anhydro-2-deoxy-6-O-hexadecyl-D-glycero-D-talo-octonoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-91-3 CAPLUS

CN Glycine, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-92-4 CAPLUS

CN L-Tyrosine, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 204458-93-5 CAPLUS

CN L-Tyrosine, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-O-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:667131 CAPLUS

DN 127:229196

TI Small Molecules as Structural and Functional Mimics of Sialyl Lewis X in Selectin Inhibition: A Remarkable Enhancement of Inhibition by Additional Negative Charge and/or Hydrophobic Group

AU Wong, Chi-Huey; Moris-Varas, Francisco; Hung, Shang-Cheng; Marron, Thomas G.; Lin, Chun-Cheng; Gong, Ke Wei; Weitz-Schmidt, Gabriele

CS Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA

SO Journal of the American Chemical Society (1997), 119(35), 8152-8158 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 127:229196

AB Several sialyl Lewis X (SLex) mimics that contain the essential functional groups for receptor interaction and a neg. charge or a hydrophobic group have been developed as inhibitors of E-, P-, and L-selectins. Some of the mimics exhibit selectin inhibition activities 103-104-fold more potent than does the natural ligand tetrasaccharide, with IC50 in the low micromolar to high nanomolar range. The syntheses of these mimics are relatively simple, using TMSOTf-Ac20 mediated C-glycosylation with concurrent selective deprotection of the primary benzyl group and enzymic aldol addition reactions as key steps.

IT 194980-10-4P 194980-11-5P 194980-13-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; small mols. as structural and functional mimics of sialyl Lewis x in selectin inhibition in relation to addnl. neg. charge and/or hydrophobic Group)

RN 194980-10-4 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-11-5 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-O-hexadecyl-4,5,6-tris-O-(phenylmethyl)-D-glycero-D-talo-octonoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-13-7 CAPLUS

CN Benzoic acid, 3-[[3,7-anhydro-2-deoxy-6-0-hexadecyl-4,5,6-tris-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

IT 865714-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(mimics; small mols. as structural and functional mimics of sialyl Lewis x in selectin inhibition in relation to addnl. neg. charge and/or hydrophobic Group)

RN 865714-47-2 CAPLUS

CN Benzoic acid, 3-[[3,7-anhydro-2-deoxy-8-0-hexadecyl-4,5,6-tris-0-(phenylmethyl)-D-glycero-D-talo-octonoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$(CH_2)_{15}^{Me}$$
 $(CH_2)_{15}^{Me}$
 $(CH_2)_{15}^{Me}$
 $(CH_2)_{15}^{Me}$
 $(CH_2)_{15}^{Me}$

IT 186532-57-0P 194980-12-6P 194980-14-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(small mols. as structural and functional mimics of sially Lewis x in selectin inhibition in relation to addnl. neg. charge and/or hydrophobic Group)

RN 186532-57-0 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194980-12-6 CAPLUS

CN L-Glutamic acid, N-[3,7-anhydro-2-deoxy-8-0-hexadecyl-D-glycero-D-talo-octonoyl] - (9CI) (CA INDEX NAME)

RN 194980-14-8 CAPLUS

CN Benzoic acid, 3-[[3,7-anhydro-2-deoxy-6-O-hexadecyl-D-glycero-D-talo-octonoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:528274 CAPLUS

DN 127:109119

TI Stereoselective Synthesis of C-Amino-Substituted D-Mannopyranosides. Easy Preparation of Novel Inhibitors for Mannosidases

AU Lopez-Herrera, Fidel J.; Sarabia-Garcia, Francisco; Heras-Lopez, A.; Pino-Gonzalez, M. S.

CS Departamento de Bioquimica Biologia Molecular y Quimica Organica Facultad de Ciencias, Universidad de Malaga, Malaga, 29071, Spain

SO Journal of Organic Chemistry (1997), 62(17), 6056-6059 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GI

HO OH OH CONEt2 I

AB Title mannopyranosides, e.g. I, were prepared via condensation of 2,3:4,6-di-O-isopropylidene-D-mannopyranose with sulfur ylide Me2SCHCONEt2. I showed inhibitory activity against β -mannosidase (no data).

IT 191282-00-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (stereoselective prepn. of C-amino-substituted mannopyranosides as mannosidase inhibitors)

RN 191282-00-5 CAPLUS
CN D-erythro-L-manno-Octonamide, 3,7-anhydro-N,N-diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 191282-01-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective prepn. of C-amino-substituted mannopyranosides as mannosidase inhibitors)

RN 191282-01-6 CAPLUS

CN D-erythro-L-gluco-Octonamide, 2-amino-3,7-anhydro-2-deoxy-N,N-diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:10067 CAPLUS

DN 126:144480

TI C-Mannose derivatives as potent mimics of sialyl Lewis X

AU Marron, Thomas G.; Woltering, Thomas J.; Weitz-Schmidt, Gabriele; Wong, Chi-Huey

CS Dep. Chem., Scripps Res. Inst., La Jolla, CA, 92037, USA

SO Tetrahedron Letters (1996), 37(50), 9037-9040 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

GΙ

AB The prepn. of five sialyl Lewis X mimetics, e.g. I, was described. Mimic I showed activities five-fold better than sialyl Lewis X as selectin inhibitors.

IT 186532-53-6P 186532-55-8P 186532-57-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of C-mannoses as selectin inhibitors and potent mimics of sially Lewis \boldsymbol{X})

Ι

RN 186532-53-6 CAPLUS

CN Glycine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186532-55-8 CAPLUS

CN L-Tyrosine, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

RN 186532-57-0 CAPLUS

CN L-Glutamic acid, N-(3,7-anhydro-2-deoxy-D-glycero-D-talo-octonoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:650028 CAPLUS

DN 126:19208

TI Generation of C-Glycoside Peptide Ligands for Cell Surface Carbohydrate Receptors Using a Four-Component Condensation on Solid Support

AU Sutherlin, Daniel P.; Stark, Todd M.; Hughes, Robert; Armstrong, Robert W.

CS Department of Chemistry and Biochemistry, University of California, Los Angeles, CA, 90095, USA

SO Journal of Organic Chemistry (1996), 61(23), 8350-8354 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

The first synthesis of a C-glycopeptide combinatorial library on solid AB support is described. The compds. are generated on the Rink resin through an Ugi reaction, a multiple component condensation (MCC) that combines an aldehyde, carboxylic acid, isocyanide and resin-bound amine to yield an α-acylamino amide. Utilizing C-qlycosides as the aldehyde and/or carboxylic acid input, compds. with a highly dense core of functionality can be rapidly synthesized. These compds. can be used to study the binding requirements of the cell surface carbohydrate receptors. method is demonstrated in the synthesis of eight diverse structures, highlighting the general nature of this approach, and more specifically in the synthesis of a 96 compound combinatorial library of C-glycopeptides directed towards the receptors of the sialyl Lewis X blood group determinant. Two protecting group strategies for the sugar hydroxyls have been implemented, one employing benzyl ethers that can be cleanly removed after the compds. cleavage from the resin, and the other using acetoxy groups that can be removed prior to cleavage. Both strategies yield compds. of purity sufficient for high throughput screening.

IT 184100-14-9P 184100-34-3P 184100-35-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (generation of C-glycoside peptide ligands for cell surface carbohydrate receptors using four-component condensation on solid support)

RN 184100-14-9 CAPLUS

CN Glycine, N-[(2ξ)-4,8-anhydro-2-[(3,7-anhydro-2-deoxy-D-glycero-D-manno-octonoyl)amino]-2,3-dideoxy-D-glycero-L-manno-nononoyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 184100-34-3 CAPLUS

CN Glycine, N-[(2ξ)-4,8-anhydro-2-[[3,7-anhydro-2-deoxy-4,5,6,8-tetrakis-0-(phenylmethyl)-D-glycero-L-manno-octonoyl]amino]-2,3-dideoxy-5,6,7,9-tetrakis-O-(phenylmethyl)-D-glycero-L-manno-nononoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 184100-35-4 CAPLUS

CN Glycine, N-[(2ξ)-5,6,7,9-tetra-O-acetyl-4,8-anhydro-2,3-dideoxy-2-[(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-manno-octonoyl)amino]-D-glycero-L-manno-nononoyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN AN 1995:13473 CAPLUS

DN 122:56357

TI On the synthesis of C-glycosyl compounds containing double bonds without the use of protecting groups

AU Wulff, Guenter; Clarkson, Guy

CS Inst. Org. Chem. Makromol. Chem., Heinrich-Heine Univ., Duesseldorf, 40225, Germany

SO Carbohydrate Research (1994), 257(1), 81-95

CODEN: CRBRAT; ISSN: 0008-6215

DT Journal

LA English

OS CASREACT 122:56357

GI

An new range of C-glycosyl compds. carrying double bonds have been synthesized as potential monomers for the prepn. of polyvinyl-saccharides. The syntheses were performed without the use of protecting groups and mostly in water as solvent. The starting material was the easily accessible 5-β-D-glycopyranosyl-1,3-dimethylbarbituric acid sodium salt I (R = Na) (obtained from D-glucose and 1,3-dimethylbarbituric acid in water). The alkylation reaction of I (R = Na) at C-5 of the barbiturate moiety was studied in detail. It works well with benzylic bromides in Me2SO and with allylic or benzylic bromides by an ultrasound/phase transfer catalyst-promoted alkylation in water. The resulting 5,5-dialkylated barbiturates, e.g. I (R = CH2C6H4-R1, R1 = H, CH:CH2, CH2CH2Br; R = CH2CR2:CH2, R2 = H, Ph, CO2Me), undergo an unusually facile and specific cleavage of the barbituric ring, losing the c-2 carbonyl, to yield novel mols. with a diamide moiety.

IT 160055-68-5P 160055-69-6P 160055-70-9P

160055-71-0P 160055-72-1P

Ι

RN 160055-68-5 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(phenylmethyl)-2-(2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-69-6 CAPLUS

CN Propanediamide, 2-[(4-ethenylphenyl)methyl]-N,N'-dimethyl-2-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 160055-70-9 CAPLUS

CN Propanediamide, 5-[[4-(2-bromoethyl)phenyl]methyl]-N,N'-dimethyl-2-(2,3,4,6-tetra-0-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-71-0 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(2-propenyl)-2-(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160055-72-1 CAPLUS

CN Propanediamide, N,N'-dimethyl-2-(2-phenyl-2-propenyl)-2-(2,3,4,6-tetra-0-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:139581 CAPLUS

DN 98:139581

TI Effect of aryl substituents on the kinetics of inactivation of glycosidases by glycosylmethylaryltriazenes: examination of the suicide nature of these inactivations

AU Sinnott, Michael L.; Tzotzos, George T.; Marshall, Susan E.

CS Dep. Org. Chem., Univ. Bristol, Bristol, BS8 1TS, UK

SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1972-1999) (1982), (12), 1665-70 CODEN: JCPKBH; ISSN: 0300-9580

DT Journal

LA English

The inactivation of the Mg2+-free form of the gene lacZ β -galactosidase of Escherichia coli at 25° by various [(β -D-galactopyranosyl)methyl]aryltriazenes resembles the spontaneous, rather than the acid-catalyzed, decomposition of alkylaryltriazenes in that both the maximum 1st-order rate constant, and the 2nd-order rate constant, are governed by a neg. β 1g value at pH 7.0 and 8.0. Less extensive measurements for the β -xylosidase of Penicillium wortmanni and [(β -D-xylopyranosyl)methyl]aryltriazenes give a similar result. Although the decomposition of the 2-(β -D-galactopyranosyl)ethyl compds. in aqueous solution is 5- to 10-fold faster than their lower homologs, β -galactosidase inactivation is 3- to 13-fold slower. [(β -D-Galactopyranosyl)methyl](β -nitrophenyl)triazene does not inactivate the lectin, RCA ricin.

THE OFFICE THE TECCHI

IT 85011-71-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and dehydration and catalytic reduction of)

RN 85011-71-8 CAPLUS

CN D-glycero-L-manno-Octonamide, 3,7-anhydro-2-deoxy-, 4,5,6,8-tetraacetate (9CI) (CA INDEX NAME)

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	FILE	'REGIS	STR	י צ	ENT	ERED AT 10:30:29 ON 30 JAN 2007		
L1	-	STRUCTURE UPLOADED						
L2		23	S	L1	SSS	SAM		
L3		450	S	L1	SSS	FULL		
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L4		0	S.	L3	AND	(GEM(A)DIFLUORO)		
L5		0	S	L3	AND	DIFLUORO		
L6		· 1	S	L3	AND	ZINC		
L7		0	s	L3	AND	REFORMATSKY		
L8		44	S	L3	AND	(PROCESS OR PREPARA?)		
L9		2	s	L8	AND	CARBONYL		
T 1 A		0	S	T.R	ΔNTD	(CARBONYL (W) ADDITTION)		